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NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	DEC	01	ChemPort single article sales feature unavailable
NEWS	3	FEB	02	Simultaneous left and right truncation (SLART) added
				for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS		FEB		GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS		FEB		Patent sequence location (PSL) data added to USGENE
NEWS		FEB		COMPENDEX reloaded and enhanced
NEWS		FEB		WTEXTILES reloaded and enhanced
NEWS	8	FEB	19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS	9	FEB	19	Increase the precision of your patent queries use terms from the IPC Thesaurus, Version 2009.01
NEWS	10	FEB	23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	11	FEB	23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS	12	FEB	23	TOXCENTER updates mirror those of MEDLINE - more
NEWS	13	FEB	23	precise author group fields and 2009 MeSH terms Three million new patent records blast AEROSPACE into STN patent clusters
NEWS	14	FEB	25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS	15	MAR	06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS	16	MAR	11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS	17	MAR	11	ESBIOBASE reloaded and enhanced
NEWS	18	MAR	20	CAS databases on STN enhanced with new super role for nanomaterial substances
NEWS	19	MAR	23	CA/CAplus enhanced with more than 250,000 patent equivalents from China
NEWS	2.0	MAR	30	IMSPATENTS reloaded and enhanced
NEWS	21	APR	03	CAS coverage of exemplified prophetic substances enhanced
NEWS	2.2	APR	0.7	STN is raising the limits on saved answers
NEWS		APR		CA/CAplus now has more comprehensive patent assignee information
NEWS	24	APR	26	USPATFULL and USPAT2 enhanced with patent assignment/reassignment information
NEWS	25	APR	28	CAS patent authority coverage expanded

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NEWS 26 APR 28 ENCOMPLIT/ENCOMPLIT2 search fields enhanced NEWS 27 APR 28 Limits doubled for structure searching in CAS REGISTRY NEWS 28 MAY 08 STN Express, Version 8.4, now available

NEWS 29 MAY 11 STN on the Web enhanced

NEWS 30 MAY 11 BEILSTEIN substance information now available on

STN Easy NEWS 31 MAY 14 DGENE, PCTGEN and USGENE enhanced with increased limits for exact sequence match searches and

introduction of free HIT display format NEWS 32 MAY 15 INPADOCDB and INPAFAMDB enhanced with Chinese legal

status data

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4, AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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FULL ESTIMATED COST

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DICTIONARY FILE UPDATES: 25 MAY 2009 HIGHEST RN 1149058-00-3

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HER

#

chain nodes:
10 11 12 13 15 16 17
ring nodes:
1 2 3 4 5 6 7 8 9
chain bonds:
3-10 5-16 6-17 10-11 11-12 11-13 11-15
ring bonds:
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds:

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Page 3

3-10 5-6 5-9 5-16 6-7 6-17 8-9 10-11 11-12 11-13 11-15 normalized bonds: 1-2 1-7 2-3 3-4 4-8 7-8 isolated ring systems: containing 1:

G1:Ph,Cy,Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR

G1 Ph,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 15:29:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 261 TO ITERATE

100.0% PROCESSED 261 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

50 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

 PROJECTED
 ITERATIONS:
 4251 TO 6189

 PROJECTED
 ANSWERS:
 1164 TO 2276

L2 50 SEA SSS SAM L1

=> s 11 sss full

FULL SEARCH INITIATED 15:30:06 FILE 'REGISTRY'

10572826.trn 05/26/2009 Page 4

FULL SCREEN SEARCH COMPLETED - 4854 TO ITERATE

100.0% PROCESSED 4854 ITERATIONS SEARCH TIME: 00.00.01

1329 ANSWERS

L3 1329 SEA SSS FUL L1

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chain nodes :
10 11 12 13 15 16 18 19
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
3-10 5-16 6-18 10-11 11-12 11-13 11-15 18-19
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
3-10 5-6 5-9 5-16 6-7 8-9 10-11 11-12 11-13 11-15 18-19
exact bonds :
6-18
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 :
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G1:Ph,Cy,Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 18:CLASS 19:Atom

L4 STRUCTURE UPLOADED

=> d 14 L4 HAS NO ANSWERS L4 STR

G1 Ph,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 15:33:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 178 TO ITERATE

100.0% PROCESSED 178 ITERATIONS SEARCH TIME: 00.00.01 34 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

Page 6

PROJECTED ITERATIONS: 2760 TO 4360 PROJECTED ANSWERS: 331 TO 1029

L5 34 SEA SSS SAM L4

=> s 14 sss full

FULL SEARCH INITIATED 15:33:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3069 TO ITERATE

100.0% PROCESSED 3069 ITERATIONS

481 ANSWERS

SEARCH TIME: 00.00.01

L6 481 SEA SSS FUL L4

=> FIL HCAPLUS

10572826.trn 05/26/2009

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FULL ESTIMATED COST

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FILE COVERS 1907 - 26 May 2009 VOL 150 ISS 22 FILE LAST UPDATED: 25 May 2009 (20090525/ED) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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=> s 13

39 L3

=> s 16 L8 10 L6

=> s 17 and py<=2003 24035503 PY<=2003

1.9 21 L7 AND PY<=2003

=> s 18 and pv<=2003 24035503 PY<=2003 L10 1 L8 AND PY<=2003

=> d 110 ibib abs hitstr tot

10572826.trn 05/26/2009

L10 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN 2001:224232 HCAPLUS

Page 7

ACCESSION NUMBER: DOCUMENT NUMBER: 134:266307

TITLE: Preparation of

2-arylethyl-5-arylsulfonamidobenzimidazoles as tryptase inhibitors.

INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans;
Disse, Bernd; Hoenke, Christoph; Jennewein, Hans

Michael; Speck, Georg

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany SOURCE: Ger. Offen., 36 pp.

CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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	2379557								CA	2000-	2379	557		2	0000	921 <
	2379557															
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	LV,	MX,	NO,	NZ,	PL,	RO,	SG,	SI,	SK	, TR,	UA,	US,	UZ,	VN,	YU,	ZA,
	AM,	AZ,	BY,	KG,	KZ	MD,	RU,	TJ,	TM							
	RW: AT,	BE,	CH,	CY,	DE	DK,	ES,	FI,	FR	, GB,	GR,	IE,	IT,	LU,	MC,	NL,
	PT,	SE														
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EP	EP 1220844					A1 20020710			EP 2000-960686					20000921 <		
EP	1220844			B1		2003	0409									
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						RO,				,		,	,	,	,	,
JP	20035103	10	,	т,		2003	0318		JP	2001-	5265	14		- 2	0000	921 <
AT	236887			T 20030315			AT 2000-960686					20000921 <				
ES	EC 21925/3					T3 20030115			JP 2001-526514 AT 2000-960686 ES 2000-960686					20000321 <		
MV	MX 2002002622									2002-						301 <
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FRIORII.	ATOMITI AFFEN. INFO.:									1999-					9991	
										2000-						
OTHER SO	THER SOURCE(S):					134:	2663		WU	2000-	EF9Z	31		W Z	.0000	241

$$\mathbb{R}^{3} \mathbb{SO}_{2\mathbb{N}^{4}}$$

AB Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl, phenylalkyl, heterocyclyl, heterocyclylalkyl; R2 = C(:NR)NH2, CH2NH2; R3 = Ph, PhCH2, naphthyl, furyl, benzofuryl, thienyl, benzothienyl; R4 = H, (substituted) alkyl, heterocyclylalkyl, etc.), were prepared Thus, N-[3-amino-4-(3,5-bistifluoromethylbenzylamino)phenyl]benzensulfonamide (preparation (greyn), p-cyanophenylpropionic acid, and PCCl3 were heated

(preparation given), p-cyanophenylpropionic acid, and POCl3 were heated together for 2 h at $100-120^\circ$ to give 71.5% N-[2-[2-(4-cyanophenyl)ethyl]-1-(3,5-bistrifluoromethylbenzyl)benzimidazol-

N-[2-[2-(4-cyanopheny1)ethy1]-1-(3,5-bistrifluoromethy1benzy1)benzimidazo1-5-y1]benzenesulfonamide. This was stirred with HCl in EtOH at 0-5° and the residue after distillation of EtOH was treated with NH3 in EtOH to give 70.38 N-[2-[2-(4-amidinophenvl)ethvl]-1-(3.5-

bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. I inhibited tryptase with IC50 = 0.0066-0.412 μM .

T 331766-41-7P 331766-46-2P

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylethylarylsulfonamidobenzimidazoles as tryptase inhibitors)

RN 331766-41-7 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-

(diethylamino)ethyl](phenylsulfonyl)amino]-1-[(tetrahydro-2-furanyl)methyl]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

RN 331766-46-2 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-

(diethylamino)ethyl](phenylsulfonyl)amino]-1-[(tetrahydro-2-furanyl)methyl]-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

=> d 19 ibib abs hitstr 1-10

L9 ANSWER 1 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:904287 HCAPLUS

DOCUMENT NUMBER: 137:380015

TITLE: Use of benzimidazole compounds for the treatment and prevention of arterial thrombotic diseases

INVENTOR(S): Hauel, Norbert; Stassen, Jean Marie; Wienen, Wolfgang
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany

SOURCE: Ger. Offen., 4 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		Di	ATE	
	1012				A1		2002			DE 2							525 <
	US 20020193404 WO 2002096425			A1				US 2002-137895 WO 2002-EP5522				20020518 <					
	W:									BB, EC,							
										KE, MN,							
		PT,	RO,	RU,		SE,	SG,			SL,							
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,			SZ, IE,							
	0000	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	AU 2002313473 PRIORITY APPLN. INFO.:				AI		2002	1209		DE 2	001-	1012	5478		A 2	0010	
										US 2					P 21		

- AB The invention provides a method for the treatment and prevention of arterial thrombotic illnesses, comprising the administration of an effective quantity of one of 1-methyl-2-[(4-amidinophenyl)-oxymethyl]-5-[N (hydroxycarbonylmethyl)-quinolin-8-sulfonylamino|benzimidazole and 1-methyl-2-[N-(4-amidinophenyl)-aminomethyl]-5-[N (hydroxycarbonyl methyl)-quinolin-8-sulfonylamino|benzimidazole, their physiol. acceptable salts or their mixts. Also provided is the use of these compds. for the production of appropriate drugs.
 - IT 256491-29-9 256491-44-8
 - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (benzimidazole compds. for treatment and prevention of arterial thrombotic diseases)
- RN 256491-29-9 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

256491-44-8 HCAPLUS RN

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1Hbenzimidazo1-5-y1]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN 2002:227325 HCAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: 136:395327

TITLE: Structure-based design of novel potent nonpeptide

thrombin inhibitors

AUTHOR(S): Hauel, Norbert H.; Nar, Herbert; Priepke, Henning; Ries, Uwe; Stassen, Jean-Marie; Wienen, Wolfgang CORPORATE SOURCE: Research Division, Boehringer Ingelheim Pharma KG,

Biberach/Riss, D-88397, Germany

SOURCE: Journal of Medicinal Chemistry (2002).

45(9), 1757-1766

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:395327

The clin. syndromes of thromboembolism are evoked by an excessive stimulation of the coaqulation cascade. In this context, the serine protease thrombin plays a key role. Considerable efforts have therefore been devoted to the discovery of safe, orally active inhibitors of this enzyme. On the basis of the X-ray crystal structure of the peptidelike thrombin inhibitor NAPAP complexed with bovine thrombin, we have designed a new structural class of nonpeptidic inhibitors employing a 1,2,5-trisubstituted benzimidazole as the central scaffold. Supported by a series of X-ray structure analyses, we optimized the activity of these compds. Thrombin inhibition in the lower nanomolar range could be achieved although the binding energy mainly results from nonpolar, hydrophobic interactions. To improve in vivo potency, we increased the overall hydrophilicity of the mols. by introducing carboxylate groups. The very polar compound BIBR 953 exhibited the most favorable activity profile in vivo. This zwitterionic mol. was converted into the double-prodrug BIBR 1048, which showed strong oral activity in different animal species. On the basis of these results, BIBR 1048 was chosen for clin. development.

237750-48-0P 256491-29-9P 256491-32-4P 256491-44-8P 429658-81-1P 429658-83-3P 429658-84-4P 429658-85-5P 429658-86-6P 429658-87-7P 429658-88-8P 429658-89-9P 429658-90-2P 429658-91-3P 429658-92-4P 429658-93-5P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(structure-based design of novel potent nonpeptide thrombin inhibitors)

RN 237750-48-0 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1Hbenzimidazol-5-vl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 256491-29-9 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 256491-32-4 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 256491-44-8 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

Page 12

RN 429658-81-1 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methy1-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

RN 429658-83-3 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-ethyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{Ph-S-NH} \\ \text{O} \\ \text{O} \\ \text{Et} \\ \end{array}$$

RN 429658-84-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[(phenylsulfonyl)amino]-1-propyl-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

Page 13

RN 429658-85-5 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[methyl(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

RN 429658-86-6 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(3-pyridinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

RN 429658-87-7 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[(2,5-dimethoxyphenyl)sulfonyl]amino]-1methyl-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

RN 429658-88-8 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

RN 429658-89-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(1-naphthalenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

RN 429658-90-2 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(2-naphthalenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

Page 15

- RN 429658-91-3 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[(5-isoquinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

- RN 429658-92-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

- RN 429658-93-5 HCAPLUS
- CN Butanoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]- (CA INDEX NAME)

IT 237750-85-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(structure-based design of novel potent nonpeptide thrombin inhibitors)

RN 237750-85-5 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1Hbenzimidazol-5-vl]- (CA INDEX NAME)

IT 236417-29-1P 237750-76-4P 237750-78-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(structure-based design of novel potent nonpeptide thrombin inhibitors)

RN 236417-29-1 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 237750-76-4 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-propyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Page 17

10572826

RN 237750-78-6 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-ethyl-1H-benzimidazol-5yl]- (CA INDEX NAME)

IT 236414-72-5P 237750-79-7P 237750-99-1P

256493-32-0P 850465-48-4P 850465-74-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (structure-based design of novel potent nonpeptide thrombin inhibitors)

RN 236414-72-5 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylbulfonyl)-, ethyl ester, monohydrochloride (961) (CA INDEX NAME)

HCl

RN 237750-79-7 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

- RN 237750-99-1 HCAPLUS
- CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256493-32-0 HCAPLUS
- CN Glycine, N-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 850465-48-4 HCAPLUS
- CN 8-Quinolinesulfonamide, N-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 850465-74-6 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HC1

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:224233 HCAPLUS

DOCUMENT NUMBER: 134:252337
TITLE: Preparation of

N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamid

es and analogs as tryptase inhibitors

INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans;

Disse, Bernd; Hoenke, Christoph; Jennewein, Hans Michael; Speck, Georg

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 28 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19945810	A1	20010329	DE 1999-19945810	19990924 <
CA 2382892	A1	20010405	CA 2000-2382892	20000921 <

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WO 2001023359
                               20010405 WO 2000-EP9236
                        A1
                                                                  20000921 <--
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            LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, UZ, VN, YU, ZA,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
    US 6413990
                               20020702
                                          US 2000-666769
                         В1
                                                                  20000921 <--
    EP 1220845
                         A1
                               20020710
                                          EP 2000-969275
                                                                  20000921 <--
    EP 1220845
                         В1
                               20030813
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, CY
    JP 2003510309
                         Т
                               20030318
                                           JP 2001-526513
                                                                  20000921 <--
    AT 247092
                         Т
                               20030815
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                                                                  20000921 <--
    MX 2002002623
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                                           MX 2002-2623
                                                                  20020311 <--
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PRIORITY APPLN. INFO.:
                                           DE 1999-19945810
                                                               A 19990924
                                                               P 19991001
                                           US 1999-157389P
                                                              W 20000921
                                           WO 2000-EP9236
OTHER SOURCE(S):
                       MARPAT 134:252337
GT
```

AB Title compds. (I; RS = CH2CH2C6H4R2-4)[II; R = NR4502R3; R1 = (cyclo)alkyl, (un)substituted phenylalkyl, etc.; R2 = C(:NH)NH2 or CH2NH2; R3 = (un)substituted Ph, -naphtnyl, -(benzolthienyl, etc.; R4 = H, aminoalkyl, ureidoalkyl, etc.] were prepared Thus, 2-fluoro-5-nitroaniline was aminated and the product cyclocondensed with 4-(NC)G6H4CH2CH2CO2H to give, after reduction, II (R1 = Me)(III; R = NH2, R2 = cyano) which was amidated and the product converted in 4 steps to III [R = 4-(Me02C)G6H4SO2N(CH2CH2NEt2), R2 = C(:NH)NH2]. Data for biol. activity of I were given.

IT 331449-43-5P 331449-44-6P 331449-45-7P 331449-46-8P 331449-47-PP 331449-51-5P 331449-51-5P 331449-55-PB 331449-53-7P 331449-55-9P 331449-55-PB 331449-56-8P 331449-61-7P 331449-61-7P 331449-65-1P 331449-63-9P 331449-64-0P 331449-65-1P 331449-65-1P 331449-65-1P 331449-65-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and analogs as tryptase inhibitors)

RN 331449-43-5 HCAPLUS

CN Benzoic acid, 4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazo1-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]-, ethyl ester,

Page 21

hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 331449-44-6 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yljethyl]-, hydrochloride (1:2) (CA INDEX NIME)

●2 HC1

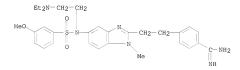
- RN 331449-45-7 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[(3-bromophenyl)sulfonyl]][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

- RN 331449-46-8 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[2-(diethylamino)ethyl][(4-nitrophenyl)sulfonyl]amino]-1-methyl-lH-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 331449-47-9 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][(3-methoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

- RN 331449-48-0 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][[3-methylphenyl]sulfonyl]amino]-1-methyl-1H-benzimidazo1-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 331449-49-1 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[(3-chloropheny1)sulfony1][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

- RN 331449-50-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[(4-aminophenyl)sulfonyl][2-(diethylamino)ethyl]amino]-1-methyl-lH-benzimidazol-2-yl]ethyl]-, hydrochloride (1:4) (CA INDEX NAME)

● 4 HC1

- RN 331449-51-5 HCAPLUS
- CN Acetamide, N-[4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazo1-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]phenyl]- (CA INDEX NAME)

- RN 331449-52-6 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[(4-chlorophenyl)sulfonyl]][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

- RN 331449-53-7 HCAPLUS
- CN Glycine, N-[4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidaol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]benzoyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-B

- NH2

RN 331449-54-8 HCAPLUS CN Benzenecarboximidamid

Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][(4-methoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazo1-2-yl]ethyl]-, hydrochloride (1:3) (CA INDEX NAME)

●3 HC1

RN 331449-55-9 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[[5-(dimethylamino)-1naphthalenyl]sulfonyl]methylamino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

BC1

RN 331449-57-1 HCAPLUS

CN Benzoic acid, 4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidacul-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM :

CRN 331449-56-0 CMF C30 H36 N6 O4 S

$$\begin{array}{c} \operatorname{Et}_2\mathrm{N}-\operatorname{CH}_2-\operatorname{CH}_2\\ \operatorname{HO}_2\mathrm{C} \\ \\ \circ \\ \\ \circ \\ \\ \circ \\ \\ \end{array} \begin{array}{c} \operatorname{NH} \\ \\ \operatorname{C}-\operatorname{NH}_2\\ \\ \\ \operatorname{C}-\operatorname{NH}_2 \\ \\ \\ \\ \end{array}$$

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 331449-58-2 HCAPLUS

CN Glycine, N-[4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]benzoyl]- (CA INDEX NAME)

PAGE 1-B

- NH₂

- RN 331449-59-3 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][[5-(diethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

- RN 331449-60-6 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[(3-bromophenyl)sulfonyl]][2-(diethylamino]ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-N-hydroxy-(CA INDEX NAME)

- RN 331449-61-7 HCAPLUS
- CN Benzamide, N-[[4-[2-[5-[[2-(diethylamino)ethyl]][[5-(dimethylamino)-l-naphthalenyl]sulfonyl]amino]-l-methyl-lH-benzimidazol-2-ylethyl]phenyl]iminomethyl]- (CA INDEX NAME)

- RN 331449-62-8 HCAPLUS
- CN 3-Pyridinecarboxamide, N-[[4-[2-[5-[[2-(diethylamino)ethyl][[5-(dimethylamino)-1-naphthalenyl]sulfonyl]jamino]-1-methyl-1H-benzimidazol-2-yl)ethyl]phenyl]iminomethyl] (CA INDEX NAME)

- RN 331449-63-9 HCAPLUS
- CN Carbamic acid, [[4-[2-[5-[2-(diethylamino)ethyl]|[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yljethyl]phenyl]iminomethyl]-, methyl ester (9C1) (CA INDEX NAME)

- RN 331449-64-0 HCAPLUS
- CN Carbamic acid, [[4-[2-[5-[2-(diethylamino)=thyl]|[5-(dimethylamino)=1-naphthalenyl]sulfonyl]amino]=1-methyl=1H-benzimidazol=2-yl]ethyl]phenyl]iminomethyl]-, ethyl ester (9CI) (CA INDEX NAME)

- RN 331449-65-1 HCAPLUS
- CN Carbamic acid, [[4-[2-[5-[[2-(diethylamino]ethyl] [[5-(dimethylamino]-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazo1-2-yl]ethyl]phenyl]iminomethyl]-, propyl ester (9CI) (CA INDEX NAME)

- RN 331449-66-2 HCAPLUS
- CN Carbamic acid, [[4-[2-[5-[[2-(diethylamino)ethyl][[5-(dimethylamino)-1-

naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2yl]ethyl]phenyl]iminomethyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

IT 331449-72-0 331449-73-1

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and analogs as tryptase inhibitors)

RN 331449-72-0 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][(4-methoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

$$\begin{array}{c} \operatorname{Et}_{2}\operatorname{N-CH}_{2}-\operatorname{CH}_{2} \\ \operatorname{MeO} \\ 0 \\ \operatorname{S-N} \\ \operatorname{O} \\ \operatorname{N} \\ \operatorname{Me} \\ \end{array}$$

- RN 331449-73-1 HCAPLUS
- CN Benzenesulfonamide, 3-bromo-N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]- (CA INDEX NAME)

IT 331449-67-3P 331449-68-4P 331449-69-5P

331449-70-8P 331449-71-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and analogs as tryptase inhibitors)

RN 331449-67-3 HCAPLUS

CN Benzoic acid, 4-[[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]- (CA INDEX NAME)

- RN 331449-68-4 HCAPLUS
- CN Benzoic acid, 4-[[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazo1-5-yl]amino]sulfonyl]-, ethyl ester (CA INDEX NAME)

- RN 331449-69-5 HCAPLUS
- CN Benzoic acid, 4-[[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]-, ethyl ester (CA INDEX NAME)

- RN 331449-70-8 HCAPLUS
- CN 1-Naphthalenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-5-(dimethylamino)- (CA INDEX NAME)

RN 331449-71-9 HCAPLUS

CN 1-Naphthalenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]-5-(dimethylamino)- (CA INDEX NAME)

.9 ANSWER 4 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:224232 HCAPLUS

DOCUMENT NUMBER: 134:266307

TITLE: Preparation of

2-arylethy1-5-arylsulfonamidobenzimidazoles as tryptase inhibitors.

INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans;

Disse, Bernd; Hoenke, Christoph; Jennewein, Hans

Michael; Speck, Georg

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 36 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 19945787	A1	20010329	DE 1999-19945787	19990924 <
CA 2379557	A1	20010405	CA 2000-2379557	20000921 <
CA 2379557	C	20080916		
WO 2001023360	A1	20010405	WO 2000-EP9237	20000921 <
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     JP 2003510310
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PRIORITY APPLN. INFO .:
                                            DE 1999-19945787
                                                                 A 19990924
                                            US 1999-157278P
                                                                 P 19991001
                                            WO 2000-EP9237
                                                                W 20000921
                        MARPAT 134:266307
OTHER SOURCE(S):
GI
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$$\begin{array}{c|c} & & & R^1 \\ & & & \\ R^3 \text{SO} 2_{R}^N 4 & & & \\ & & & N \end{array}$$

Title compds. [I; R1 = (substituted) alkvl, alkenvl, alkvnvl, cvcloalkvl, AB cycloalkylalkyl, phenylalkyl, heterocyclyl, heterocyclylalkyl; R2 = C(:NH)NH2, CH2NH2; R3 = Ph, PhCH2, naphthyl, furyl, benzofuryl, thienyl, benzothienyl; R4 = H, (substituted) alkyl, heterocyclyl, heterocyclylalkyl, etc.], were prepared Thus, N-[3-amino-4-(3,5-bistifluoromethylbenzylamino)phenyl]benzenesulfonamide (preparation given), p-cyanophenylpropionic acid, and POC13 were heated together for 2 h at 100-120° to give 71.5% N-[2-[2-(4-cvanophenvl)ethvl]-1-(3.5-bistrifluoromethvlbenzvl)benzimidazol-5-vllbenzenesulfonamide. This was stirred with HCl in EtOH at 0-5° and the residue after distillation of EtOH was treated with NH3 in EtOH to give 70.3% N-[2-[2-(4-amidinophenyl)ethyl]-1-(3,5bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. I inhibited tryptase with IC50 = 0.0066-0.412 µM. 1099086-44-8 1099086-51-7 IΤ RL: PRPH (Prophetic)

(Preparation of 2-arylethyl-5-arylsulfonamidobenzimidazoles as tryptase inhibitors.) RN 1099086-44-8 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{NH} \\ \text{H}_2\text{N}-\text{C} \\ \text{C} \\ \text{H}_2-\text{C} \\ \text{C} \\ \text{H}_2-\text{C} \\ \text{N} \\ \text{Me} \\ \end{array}$$

- RN 1099086-51-7 HCAPLUS
- CN Benzoic acid, 4-[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-lH-benzimidazol-1-yl]methyl]-(CA INDEX NAME)

- IT 331766-13-3P 331766-14-4P 331766-15-5P 331766-16-6P 331766-17-P 331766-18-8P 331766-19-9P 331766-20-2P 331766-20-4P 331766-21-3P 331766-22-4P 331766-22-4P 331766-22-4P 331766-22-4P 331766-22-4P 331766-22-4P 331766-31-5P 331766-31-5P 331766-31-5P 331766-33-7P 331766-34-8P 331766-31-5P 331766-33-7P 331766-37-1P 331766-37-1P 331766-31-5P 331766-39-3P
 - 331766-40-6P 331766-41-7P 331766-42-8P 331766-43-9P 331766-44-0P 331766-45-1P 331766-48-4P
 - 331766-49-5P 331766-50-8P
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of arylethylarylsulfonamidobenzimidazoles as tryptase
- inhibitors) RN 331766-13-3 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[1-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 331766-14-4 HCAPLUS

CN

Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-s} = \text{O} \\ \text{Et}_2\text{N-} \text{CH}_2\text{-} \text{CH}_2\text{-} \text{N} \\ \text{N} \\ \text{Me} \end{array}$$

●2 HC1

- RN 331766-15-5 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-

(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-ethoxypropyl)-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \mathsf{D} \\ \mathsf{Ph} - \mathsf{S} \\ \mathsf{Et}_2 \mathsf{N} - \mathsf{CH}_2 - \mathsf{CH}_2 - \mathsf{N} \\ \mathsf{N} \\ \mathsf{CH}_2 - \mathsf{CH}_2 \\ \mathsf{N} \\ \mathsf{(CH}_2)_3 - \mathsf{OEt} \end{array}$$

●2 HC1

- RN 331766-16-6 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[[4-(dimethylamino)phenyl]methyl][phenylsulfonyl)amino]-1-methyl-1Hbenzimidazol-2-yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{NH} \\ \text{NH} \\ \text{NH} \\ \text{CH}_2 \\ \text{NH} \\ \text{NH} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{NH} \\ \text{CH}_2 \\ \text{C$$

●2 HC1

- RN 331766-17-7 HCAPLUS
- CN Benzenesulfonamide, N-[2-[2-[4-(aminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidaci-5-yl]-N-[2-(diethylamino)ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} 0 \\ \text{Ph-s} = 0 \\ \text{Et}_2 \text{N-CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{N} \\ \text{N} \\ \text{Me} \end{array}$$

●2 HCl

- RN 331766-18-8 HCAPLUS
- CN Benzenecarboximidamide, N-hydroxy-4-[2-[1-methy1-5-[[2-(4morpholinyl)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

- RN 331766-19-9 HCAPLUS
- CN Benzamide, N-[[4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-S=O} \\ \text{Et}_2\text{N-CH}_2\text{-CH}_2\text{-NH-C-PI} \\ \\ \text{Me} \end{array}$$

- RN 331766-20-2 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[1-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

RN 331766-21-3 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl] (phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2vllethyll- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} - \text{S} & \text{O} \\ \text{Ph} - \text{S} & \text{O} \\ \text{Et}_2 \text{N} - \text{CH}_2 - \text{CH}_2 - \text{N} \\ \text{N} \\ \text{N} \\ \text{Me} \end{array}$$

- RN 331766-22-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[2-(diethylamino)ethyl] (phenylsulfonyl) amino]-1-(3-ethoxypropyl)-1Hbenzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-} \\ \text{S} \\ \text{O} \\ \text{Et}_2 \\ \text{N} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{OEt} \\ \end{array}$$

- RN 331766-23-5 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[[4-(dimethylamino)phenyl]methyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-vl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \mathsf{NH} \\ \mathsf{NH} \\$$

- RN 331766-24-6 HCAPLUS
- CN Benzenesulfonamide, N-[2-[2-[4-(aminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]- (CA INDEX NAME)

- RN 331766-25-7 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[3-(diethylamino)propyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-} \\ \text{Ph-} \\ \text{S=0} \\ \text{Et}_2 \text{N-} \\ \text{(CH}_2)_3 - \text{N} \\ \text{N} \\ \text{N} \\ \text{Me} \\ \end{array}$$

- RN 331766-26-8 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[(1-methyl-3-pyrrolidinyl)methyl](phenylsulfonyl)amino]-IH-benzimidazol-2-yl]ethyl]-(CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph} - \text{S} = \text{O} \\ \text{CH}_2 - \text{NH}_2 \\ \text{Me} \end{array}$$

- RN 331766-27-9 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[4-(dipropylamino)cyclohexyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$(n-\Pr)_{2N} = 0$$

$$Ph-S = 0$$

$$C-NH_{2}$$

$$N$$

$$Me$$

- RN 331766-28-0 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[(1-methyl-2pyrrolidinyl)methyl][phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]-(CA INDEX NAME)

- RN 331766-29-1 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[[1-(phenylmethyl)-2pyrrolidinyl]methyl](phenylsulfonyl)amino]-H-benzimidazol-2-yl]ethyl](CA INDEX NAME)

- RN 331766-30-4 HCAPLUS
 - CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[(phenylsulfonyl)-4-piperidinylamino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

RN 331766-31-5 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methy1-5-[(1-methy1-4-piperidiny1)(phenylsulfony1)amino]-IH-benzimidazol-2-y1]ethy1]- (CA INDEX NAME)

RN 331766-32-6 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[1-(phenylmethyl)-4-piperidinyl](phenylsulfonyl)amino]-IH-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

RN 331766-33-7 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[(phenylsulfonyl)(3-pyrrolidinylmethyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{Ph-S} = \text{O} \\ \text{HN} \\ \text{CH}_2 = \text{N} \\ \text{N} \\ \text{Me} \end{array}$$

- RN 331766-34-8 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino]ethyl](phenylsulfonyl)amino]-1-(2-hydroxyethyl)-1Hbenzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-s=o} \\ \text{Et}_2\text{N-CH}_2\text{-CH}_2\text{-N} \\ \text{N} \\ \text{CH}_2\text{-CH}_2\text{-OH} \end{array}$$

- RN 331766-35-9 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)a

(diethylamino)ethyl](phenylsulfonyl)amino]-1-(2-methoxyethyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-S} = \text{O} \\ \text{Et}_2\text{N-CH}_2\text{-CH}_2\text{-NH}_2 \\ \\ \text{CH}_2\text{-CH}_2\text{-OMe} \end{array}$$

- RN 331766-36-0 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl] (phenylaulfonyl)amino]-1-propyl-1H-benzimidazol-2yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \bullet \\ \text{Ph-S=O} \\ \text{Et}_2 \text{N-CH}_2 \text{-CH}_2 \text{-NH}_2 \\ \bullet \\ \text{N} \\ \text{Pr-n} \end{array}$$

- RN 331766-37-1 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-hydroxypropyl)-1Hbenzimidazol-2-yl]ethyl]- (CA INDEX NAME)

- RN 331766-38-2 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2(diethylamino)ethyll(phenylsulfonyl)ami

(diethylamino)ethyl](phenylsulfonyl)amino]-1-(2-phenylethyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-s=o} \\ \text{Et}_2\text{N-CH}_2\text{-CH}_2\text{-CH}_2 \\ \text{N} \\ \text{CH}_2\text{-CH}_2\text{-Ph} \end{array}$$

- RN 331766-39-3 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-phenylpropyl)-1Hbenzimidazol-2-yl]ethyl] (CA INDEX NAME)

$$\begin{array}{c} 0 \\ \text{Ph} - S = 0 \\ \text{Et}_2 \text{N} - \text{CH}_2 - \text{CH}_2 - \text{N} \\ \text{N} \\ \text{(CH}_2 - \text{CH}_2 - \text{CH}_2 \\ \text{(CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 \\ \text{(CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 \\ \text{(CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 \\ \text{(CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 \\ \text{(CH}_2 - \text{CH}_2 \\ \text{(CH}_2 - \text{CH}_2 - \text{C$$

- RN 331766-40-6 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl] (phenylsulfonyl)amino]-1-[2-(2-methoxyphenoxy)ethyl]-1H-benzimidazol-2-yljethyl]- (CA INDEX NAME)

- RN 331766-41-7 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-

 $\label{lem:condition} $$ (diethylamino)=thyl] (phenylsulfonyl) amino]-1-[(tetrahydro-2-furanyl)methyl]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)$

$$\begin{array}{c} \text{Ph-} \\ \text{S} = \text{O} \\ \text{Et}_2 \text{N} - \text{CH}_2 - \text{CH}_2 - \text{N} \\ \text{N} - \text{CH}_2 - \text{CH}_2 \end{array}$$

- RN 331766-42-8 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](1-naphthalenylsulfonyl)amino]-1-methyl-1H-benzimidazo1-2-yl]ethyl]- (CA INDEX NAME)

RN 331766-43-9 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(hexahydro-1H-azepin-1-yl)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

RN 331766-44-0 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[(phenylsulfonyl)][2-(1-piperidinyl)ethyl]amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

RN 331766-45-1 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[(phenylsulfonyl)][2-(1-pyrrolidinyl)ethyl]amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-S} = \text{O} \\ \text{N-CH}_2\text{-CH}_2\text{-N} \\ \text{N} \\ \text{Me} \end{array}$$

- RN 331766-46-2 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl] (phenylaulfonyl)amino]-1-[(tetrahydro-2furanyl)methyl]-1H-benzimidazo1-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

$$\begin{array}{c} \mathsf{D} \\ \mathsf{$$

- RN 331766-47-3 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amin.
 - (diethylamino)ethyl](phenylsulfonyl)amino]-1-(2-hydroxyethyl)-1Hbenzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-S} = \text{O} \\ \text{Et}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{N} \\ \text{N} \\ \text{CH}_2-\text{CH}_2-\text{OH} \end{array}$$

- RN 331766-48-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl])[(phenylaulfonyl) amino]-1-(2-methoxyethyl)-1Hbenzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

$$\begin{array}{c} \bullet \\ \text{Ph-} \\ \text{S} = \text{O} \\ \text{Et}_2 \text{N} - \text{CH}_2 - \text{CH}_2 - \text{N} \\ \text{N} \\ \text{CH}_2 - \text{CH}_2 - \text{OMe} \\ \end{array}$$

- RN 331766-49-5 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][phenylsulfonyl)amino]-1-(3-hydroxypropyl)-1Hbenzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

- RN 331766-50-8 HCAPLUS
- CN Benzenecarboximidamide, 4-[2-[5-[12-(diethylamino)ethyl] (phenylsulfonyl)amino]-1-[2-(2-methoxyphenoxy)ethyl]-IH-benzimidazo1-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

- IT 256493-19-3P 331766-54-2P 331766-55-3P 331766-59-7P 331766-60-0P 331766-62-2P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylethylarylsulfonamidobenzimidazoles as tryptase inhibitors)

- RN 256493-19-3 HCAPLUS
- CN Benzenesulfonamide, N-[2-[2-(4-cyanopheny1)ethy1]-1-methy1-1H-benzimidazo1-

10572826

5-v1]- (CA INDEX NAME)

- RN 331766-54-2 HCAPLUS
- CN Benzenesulfonamide, N-[1-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[2-(4-cyanophenyl)ethyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 331766-55-3 HCAPLUS
- CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]- (CA INDEX NAME)

- RN 331766-59-7 HCAPLUS
- CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-(3-ethoxypropyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 331766-60-0 HCAPLUS

CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-(3-ethoxypropyl)-1Hbenzimidazol-5-yl]-N-[2-(diethylamino)ethyl]- (CA INDEX NAME)

$$\begin{array}{c} 0 \\ \text{Ph-S} = 0 \\ \text{Et}_{2}\text{N} - \text{CH}_{2} - \text{CH}_{2} - \text{N} \\ \text{N} \\ \text{CH}_{2} - \text{CH}_{2} \\ \text{(CH}_{2})_{3} - \text{OEt} \end{array}$$

RN 331766-62-2 HCAPLUS

CN Benzenecarboximidamide, N-hydroxy-4-[2-[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} & & & & & \\ & & & & \\ Ph - S - NH & & & \\ & & & \\ O & & & N \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:83221 HCAPLUS

DOCUMENT NUMBER: 132:137386

TITLE: Preparation of heterocyclylalkylbenzamidines and

analogs as thrombin inhibitors INVENTOR(S):

Hauel, Norbert; Ries, Uwe; Priepke, Henning; Mihm, Gerhard; Wienen, Wolfgang; Stassen, Jean Marie;

Binder, Klaus; Zimmermann, Rainer

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

Ger. Offen., 58 pp. SOURCE:

CODEN: GWXXBX

DOCUMENT TYPE: Patient. LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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WO	WO 2000008014			A1 20000217			WO 1999-EP5371					19990727 <				<	
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	DE,	DK,	EE,	ES,	FI	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	
	JP,	ΚE,	KG,	KΡ,	KR	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	
	MN,	MW,	MX,	NO,	NZ	, PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	
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		SI,															
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									WO 1	999-	EP53	71		W 1	9990	727	
OTHER SOURCE(S):			MARPAT 132:1373				86										

AB RaZZZIZR [I, R = cyano or C(:NH)NHRb; Ra = (alkyl)amino, phenylalkoxy, NR4COR3, etc.; Rb = H, OH, alkyl, metabolically labile group; Z = (un)substituted (hetero)arylene; Zl = (alkyl-substituted) CHZCH2, -OCH2, -CH2O, -NHCH2, etc.; Z2 = indole-, benzimidazole-, benzoxazole-n, 2-diyl, quinolinediyl, etc.; n = 4-7] were prepared Thus, 2-methylamino-5-nitroaniline was cyclocondensed with Ho2CCH2CH2C6H4(CN)-4 and the reduced product N-substituted by, successively, MeSO2Cl and BrCH2CO2Et to give, after aminolysis and saponification, title compound II.

Data for biol. activity of I were given.

IT 256491-63-1P 256491-69-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)

- RN 256491-63-1 HCAPLUS
- CN Glycine, N-[2-[[[[4-(aminoiminomethyl)phenyl]methyl]amino]methyl]-1-methyl-1H-benzimidazo1-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \text{NH} \\ & & & \\ \text{CH}_2-\text{C}-\text{OBt} \\ & & & \\ \text{O} & & & \\ & & & \\ \text{N} & & \\ \text{CH}_2-\text{NH}-\text{CH}_2 \\ & & \\ & & \\ \text{Me} \end{array}$$

- RN 256491-69-7 HCAPLUS
- CN Glycine, N-[2-[[[4-(aminoiminomethy1)pheny1]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

256491-15-3P 256491-16-4P 256491-18-6P 256491-20-0P 256491-25-5P 256491-26-6P 256491-27-7P 256491-29-9P 256491-31-3P 256491-32-4P 256491-34-6P 256491-35-7P 256491-36-8P 256491-37-9P 256491-38-0P 256491-39-1P 256491-40-4P 256491-41-5P 256491-42-6P 256491-43-7P 256491-44-8P 256491-45-9P 256491-46-0P 256491-48-2P 256491-49-3P 256491-50-6P 256491-51-7P 256491-52-8P 256491-53-9P 256491-54-0P 256491-55-1P 256491-56-2P 256491-57-3P 256491-58-4P 256491-59-5P 256491-64-2P 256491-67-5P 256491-68-6P 256491-70-0P 256491-81-3P 256491-82-4P 256491-83-5P 256492-12-3P 256492-13-4P 256492-14-5P 256492-41-8P 256492-42-9P 256492-43-0P 256492-44-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)

- RN 256491-15-3 HCAPLUS
- CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256491-16-4 HCAPLUS
- CN Glycine, N-[2-[2-[4-(aminoiminomethy1)pheny1]ethy1]-1-methy1-1Hbenzimidazol-5-y1]-N-(phenylsulfony1)- (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-S} = \text{O} \\ \text{HO}_2\text{C-CH}_2 - \text{N} \\ \text{N} \\ \text{Me} \end{array}$$

- RN 256491-18-6 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \bullet \\ \text{Ph-S=0} \\ \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-N} \\ \bullet \\ \text{CH}_2\text{-C-OBt} \end{array}$$

- RN 256491-20-0 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]- (CA INDEX NAME)

- RN 256491-25-5 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256491-26-6 HCAPLUS
- CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-ethyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256491-27-7 HCAPLUS
- CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256491-29-9 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

- RN 256491-31-3 HCAPLUS
- CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-ethyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

- RN 256491-32-4 HCAPLUS
- CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH} \\ \text{CH}_2-\text{CO}_2\text{H} \\ \text{O} & \text{S} & \text{N} \\ \text{O} & \text{N} \\ \text{Me} \end{array}$$

- RN 256491-34-6 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256491-35-7 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-ethyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

- RN 256491-36-8 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \bullet \\ \bullet \\ \bullet \\ \text{Ph-S=0} \end{array}$$

$$\begin{array}{c} \bullet \\ \bullet \\ \text{EtO-C-CH}_2 - \text{N} \\ \bullet \\ \text{Me} \end{array}$$

- RN 256491-37-9 HCAPLUS
- CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

- RN 256491-38-0 HCAPLUS
- CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{Me O} \\ \text{EtO-C-CH}_2\text{N-C-CH}_2 \\ \text{N} \\ \text{N} \\ \text{O} \end{array}$$

- RN 256491-39-1 HCAPLUS
- CN Glycine, N-[2-[2-[4-(aminoiminomethy1)pheny1]ethy1]-1-methy1-1Hbenzimidazol-5-y1]-N-(8-quinoliny1sulfony1)qlycy1- (CA INDEX NAME)

RN 256491-40-4 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl- (CA INDEX NAME)

RN 256491-41-5 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(phenylsulfonyl)- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-S} & \text{O} \\ \text{Ph-S} & \text{O} \\ \text{HO}_2\text{C}-\text{CH}_2-\text{N} \\ \text{N} & \text{N} \\ \text{Me} \end{array}$$

RN 256491-42-6 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

- RN 256491-43-7 HCAPLUS
- CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

- RN 256491-44-8 HCAPLUS
- CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

- RN 256491-45-9 HCAPLUS
- CN Glycine, N-[2-[2-[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

- RN 256491-46-0 HCAPLUS
- CN Glycine, N-[2-[1-[4-(aminoiminomethyl)phenoxy]-1-methylethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256491-48-2 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazo1-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAKE)

- RN 256491-49-3 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

- RN 256491-50-6 HCAPLUS
- CN Glycine, N-[2-[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

- RN 256491-51-7 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

- RN 256491-52-8 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethy1)phenoxy]methy1]-5[(8-quinolinylsulfony1)amino]- (CA INDEX NAME)

- RN 256491-53-9 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]5-[(8-quinolinylsulfonyl)amino]- (CA INDEX NAME)

- RN 256491-54-0 HCAPLUS
- CN Glycine, N-[2-[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenoxy]met hyl]-1-methyl-1H-bensimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

- RN 256491-55-1 HCAPLUS
- CN Benzenecarboximidamide, 3-[[1-methyl-5-[(phenylsulfonyl)amino]-1Hbenzimidazol-2-yl]methoxy]- (CA INDEX NAME)

- RN 256491-56-2 HCAPLUS
- CN Benzenecarboximidamide, 3-[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methoxy]- (CA INDEX NAME)

- RN 256491-57-3 HCAPLUS
- CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]methylamino]methyl]-1-methyl-H-benzimidazo1-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

- RN 256491-58-4 HCAPLUS

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$$\begin{array}{c} \text{NH} & \text{O} \\ \text{CH}_2\text{-C}-\text{OMe} \\ \text{O} & \text{S} & \text{N} \\ \text{O} & \text{N} \\ \text{Me} \end{array}$$

- RN 256491-59-5 HCAPLUS
- CN Glycine, N-[2-[[[4-[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]ami no]methyl]-1-methyl-1H-benzimidazo1-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

- RN 256491-64-2 HCAPLUS
- CN Glycine, N-[2-[[[[4-(aminoiminomethy1)pheny1]methy1]amino]methy1]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

- RN 256491-67-5 HCAPLUS
- CN Glycine, N-[2-[2-[5-(aminoiminomethyl)-2-thienyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256491-68-6 HCAPLUS
- CN Glycine, N-[2-[2-[5-(aminoiminomethyl)-2-thienyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

- RN 256491-70-0 HCAPLUS
- CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(phenylsulfonyl)- (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{NH} \\ \text{NH} \\ \text{NH} \\ \text{NH} \\ \text{CH}_2\text{C} - \text{CH}_2 - \text{NH} \\ \\ \text{NH} \\ \text{Me} \end{array}$$

- RN 256491-81-3 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{MH} \\ \text{EtO-C-CH}_2\text{-NH-C-CH}_2 \\ \text{N} \\ \text{N} \\ \text{O} \\ \text{Me} \\ \end{array}$$

RN 256491-82-4 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-M-(8-quinolinylsulfonyl)qlycyl- (CA INDEX NAME)

RN 256491-83-5 HCAPLUS

CN β-Alanine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256492-12-3 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]thio]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256492-13-4 HCAPLUS
- CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]thio]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

- RN 256492-14-5 HCAPLUS
- CN Benzenecarboximidamide, 4-[[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]thio]- (CA INDEX NAME)

- RN 256492-41-8 HCAPLUS
- CN Glycine, N-[2-[[[4-[[(hexyloxy)carbonyl]amino]iminomethyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256492-42-9 HCAPLUS
- CN Glycine, N-[2-[[[4-[imino[[(octyloxy)carbonyl]amino]methyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256492-43-0 HCAPLUS
- CN Glycine, N-[2-[[[4-[[(butoxycarbonyl)amino]iminomethyl]phenyl]amino]methyl
]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester
 (CA INDEX NAME)

- RN 256492-44-1 HCAPLUS CN Benzenecarboximidami
- CN Benzenecarboximidamide, 3-methoxy-4-[[[1-methyl-5-[methyl(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]amino]- (CA INDEX NAME)

- IT 256493-19-3 256493-23-9 256493-24-0 256493-26-2 256493-27-3 256493-28-4 256493-29-5 256493-30-8 256493-31-9 256493-35-0 256493-33-1 256493-35-3 256493-36-4 256493-37-5 256493-34-6 256493-34-4 256493-45-5 256493-48-6 256493-80-8 256493-8 256493-80-8 256493
 - RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)
- RN 256493-19-3 HCAPLUS
- CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 256493-23-9 HCAPLUS
- CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256493-24-0 HCAPLUS
- CN Glycine, N-[2-[2-(4-cyanopheny1)ethy1]-1-ethy1-1H-benzimidazo1-5-y1]-N-(8-quinoliny1sulfony1)-, ethy1 ester (CA INDEX NAME)

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- RN 256493-26-2 HCAPLUS
- CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256493-27-3 HCAPLUS
- CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N- (phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256493-28-4 HCAPLUS
- CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256493-29-5 HCAPLUS
- CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, ethyl ester (CA INDEX NAME)

- RN 256493-30-8 HCAPLUS
- CN Glycine, N-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

- RN 256493-31-9 HCAPLUS
- CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

- RN 256493-32-0 HCAPLUS
- CN Glycine, N-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256493-33-1 HCAPLUS
- CN Glycine, N-[2-[1-(4-cyanophenoxy)-1-methylethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256493-35-3 HCAPLUS
- CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

- RN 256493-36-4 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5-[(8quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

- RN 256493-37-5 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenoxy)methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

- RN 256493-38-6 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(3-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 256493-39-7 HCAPLUS
- CN 8-Quinolinesulfonamide, N-[2-[(3-cyanophenoxy)methyl]-1-methyl-1Hbenzimidazo1-5-yl]- (CA INDEX NAME)

- RN 256493-40-0 HCAPLUS
- CN Glycine, N-[2-[[(4-cyanophenyl)methylamino]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

- RN 256493-42-2 HCAPLUS
- CN Glycine, N-[2-[[[(4-cyanophenyl)methyl]amino]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256493-44-4 HCAPLUS
- CN Glycine, N-[2-[2-(5-cyano-2-thienyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256493-45-5 HCAPLUS
- CN Glycine, N-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256493-54-6 HCAPLUS
- CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

- RN 256493-55-7 HCAPLUS
- CN β-Alanine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256493-68-2 HCAPLUS
- CN Glycine, N-[2-[[(4-cyanophenyl)thio]methyl]-1-methyl-1H-benzimidazol-5-yl]- N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 256493-69-3 HCAPLUS
- CN 8-Quinolinesulfonamide, N-[2-[[(4-cyanophenyl)thio]methyl]-1-methyl-1Hbenzimidazol-5-yl]- (CA INDEX NAME)

- RN 256493-80-8 HCAPLUS
- CN Benzenesulfonamide, N-[2-[[(4-cyano-2-methoxyphenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

- IT 256492-50-9P 256492-55-4P 256492-56-5P
 - 256492-59-8P 256492-60-1P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)
- RN 256492-50-9 HCAPLUS
- CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{O} \\ \text{Ph-S} \\ \text{O} \\ \text{EtO-C-CH}_2 \\ \text{N} \\ \text{N} \\ \text{Me} \end{array}$$

- RN 256492-55-4 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5[(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

- RN 256492-56-5 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-S} = \text{O} \\ \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-N} \\ \text{N} \\ \text{CH}_2\text{-O-OEt} \end{array}$$

- RN 256492-59-8 HCAPLUS
- CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

- RN 256492-60-1 HCAPLUS
- CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

L9 ANSWER 6 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:511140 HCAPLUS

DOCUMENT NUMBER: 131:157771

TITLE: Preparation of five-membered, benzo-condensed

heterocycles as antithrombotics

INVENTOR(S): Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Priepke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen,

Wolfgang; Zimmermann, Rainer

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany

GI

SOURCE: PCT Int. Appl., 250 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: German FAMILY ACC. NUM. COUNT: 2

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PATENT NO. KIND DATE APPLICATION NO. DATE A1 19990812 WO 1999-EP537 19990128 <--WO 9940072 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG A1 19990805 DE 1998-19804085 DE 19804085 19980203 <--DE 19834325 A1 20000217 DE 1998-19834325 19980730 <--CA 2319494 CA 1999-2319494 A1 19990812 19990128 <--19990823 AU 1999-27201 EP 1999-907437 AU 9927201 Α 19990128 <--EP 1060166 A1 20001220 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO JP 2002502844 T 20020129 JP 2000-530502 19990128 <--MX 2000005785 A 20010123 MX 2000-5785 20000612 <--PRIORITY APPLN. INFO.: DE 1998-19804085 A 19980203 DE 1998-19834325 A 19980730 WO 1999-EP537 W 19990128 OTHER SOURCE(S): MARPAT 131:157771

$$R^{1}z$$
 X A R^{2} R^{2}

AB Title compds. [I; R = 5-C6H5SO2NH, 6-C6H5SO2NH, 5-C6H5NHSO2,

5-C6HSSOZN(CH2COOEt), 5-C6HSSOZN(CH3), 5-C6HSN(CH2CH2CH2COOEt)CO, 5-C6HS, CH3N(C6HS)CO, 8; R1 = H, 7-CH3, 3-Br, 3-EC); R2 = C(:NH)NH2; A = CH2, NH; X = CH, MeN, EtOCOCH2CH2N, O, S, NCH2CO2H; Y = N, CH, CH:CH; Z = CH, N; dotted bond = single, double in relation to X; A is attached at 2, or 8 position depending on the heterocyclic ring] and their tautomers, stereoisomers, mixts. and their physiol. compatible salts with inorg. or organic acids or bases are prepared and title compeds in which R2 is a cyano group, present valuable intermediate products for the production of the remaining compds. of the general formula I, with R2 is amidino, which have valuable pharmacol. properties, especially an antithrombotic activity. Thus, the title compound II was prepared

IT 236414-44-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation of five-membered benzo-condensed heterocycles as antithrombotics)

RN 236414-44-1 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

HC1

236414-28-1P 236414-34-9P 236414-40-7P 236414-42-9P 236414-45-2P 236414-46-3P 236414-47-4P 236414-51-0P 236414-52-1P 236414-54-3P 236414-55-4P 236414-56-5P 236414-57-6P 236414-69-0P 236414-70-3P 236414-71-4P 236414-72-5P 236414-75-8P 236414-80-5P 236414-81-6P 236414-82-7P 236414-84-9P 236414-85-0P 236414-87-2P 236414-89-4P 236414-91-8P 236414-92-9P 236414-96-3P 236414-97-4P 236414-98-5P 236415-05-7P 236415-07-9P 236415-08-0P 236415-09-1P 236415-10-4P 236415-11-5P 236415-12-6P 236415-14-8P 236415-15-9P 236415-16-0P 236415-18-2P 236415-19-3P 236415-20-6P 236415-21-7P 236415-22-8P 236415-23-9P 236415-24-0P 236415-25-1P 236415-26-2P 236415-28-4P 236415-29-5P 236415-30-8P 236415-31-9P 236415-32-0P 236415-34-2P 236415-35-3P 236415-36-4P 236415-37-5p 236415-39-7p 236415-40-0p 236415-42-P 236415-44-33 P 236415-44-8P 236415-45-8P 236415-44-8P 236415-45-8P 236415-45-8P 236415-55-79 236415-51-3P 236415-55-4P 236415-55-9P 236415-58-0P 236415-58-0P 236415-56-8P 236415-60-4P 236415-65-8P 236415-60-4P 236415-65-9P 236415-67-4P 236415-67-4P 236415-75-1P 236415-81-4P 236415-75-1P 236415-81-4P 236415-81-4P 236415-81-6P 236415-81-4P 236415-81-6P 236415-81-4P 236415-81-6P 236415-81-6P 236415-81-6P 236415-81-6P 236415-81-6P 236415-81-6P 236415-81-6P 236415-81-6P 236415-81-6P 236416-81-6P 2364

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of five-membered benzo-condensed heterocycles as antithrombotics)

RN 236414-28-1 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(phenylsulfonyl)amino]-1Hbenzimidazo1-2-vl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 236414-34-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[(phenylsulfonyl)amino]-1-propyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 236414-40-7 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-ethyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 236414-42-9 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[methyl(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-S=O} \\ \text{Me-N} \\ \text{N} \\ \text{Me} \end{array}$$

● HC1

- RN 236414-45-2 HCAPLUS
- 10572826.trn 05/26/2009

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-S-NH} \\ \text{O} \\ \text{N} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{CO}_2 \\ \text{H} \end{array}$$

- RN 236414-46-3 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N(phenylsulfonyl)-, ethyl ester, monohydrochloride (901) (CA INDEX NAME)

● HCl

- RN 236414-47-4 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-S} = \text{O} \\ \text{HO}_2\text{C}-\text{CH}_2-\text{N} \\ \text{N} \\ \text{Me} \end{array}$$

● HCl

- RN 236414-51-0 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[(1-naphthalenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

- RN 236414-52-1 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[(2-naphthalenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 236414-54-3 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 236414-55-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \text{CF3} \\ \text{O} \\ \text{S-NH} \\ \text{O} \\ \text{Me} \end{array}$$

● HCl

- RN 236414-56-5 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[(2,5-dimethoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-57-6 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[[(2,3,5,6-tetramethylphenyl])sulfonyl] jamino[-]H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 236414-69-0 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[[2-(4-morpholinyl)ethyl](8-quinolinyl)sulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

- RN 236414-70-3 HCAPLUS
- CN Benzenecarboximidamide, 4-[11-methyl-5-[12-(4-morpholinyl)-2-oxoethyl](8-quinolinylsulfonyl)amino]-IH-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 236414-71-4 HCAPLUS
CN Butanoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1Hbenzimidazol-5-yl](8-quinolinylsulfonyl)amino]-, ethyl ester,
hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 236414-72-5 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

- RN 236414-75-8 HCAPLUS
- CN Carbamic acid, [2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

- RN 236414-80-5 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

- RN 236414-81-6 HCAPLUS
- CN Butanoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 236414-82-7 HCAPLUS

CN

Benzenecarboximidamide, 4-[[1-methyl-5-[[2-(4-morpholinyl)ethyl][8-quinolinylsulfonyl]amino[-1H-benzimidazol-2-yl]carbonyl]-, hydrochloride (1:2) (CA INDEX NAME)

- RN 236414-84-9 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[3-(dimethylamino)propyl](8-quinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

- RN 236414-85-0 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](8quinolinylaulfonyl)mamino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

- RN 236414-87-2 HCAPLUS
- CN Benzoic acid, 3-[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

- RN 236414-89-4 HCAPLUS
 CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-propyl-1Hbenzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, monohydrochloride
 (9CI) (CA INDEX NAME)
- O Ph-S O NH CH2

● HCl

- RN 236414-91-8 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[methyl(phenylsulfonyl)amino]-1-propyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 236414-92-9 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-propyl-1H-

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 $\label{lem:benzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)$

$$\begin{array}{c} \text{NH} \\ \text{Ph-S} = \text{O} \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{N} \\ \text{N} \\ \text{Pr-n} \end{array}$$

● HCl

- RN 236414-96-3 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[(3-pyridinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

- RN 236414-97-4 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(2-ethoxy-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \bullet \\ \bullet \\ \bullet \\ \text{Det} \bullet \\ \bullet \\ \text{CH}_2 - \text{C} \\ \bullet \\ \text{CH}_2 - \text{C} \\ \bullet \\ \text{OEt} \end{array}$$

- RN 236414-98-5 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl][phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} - \text{S} = \text{O} \\ \text{Me}_2 \text{N} - \text{CH}_2 - \text{CH}_2 - \text{N} \\ \text{N} \\ \text{CH}_2 - \text{C} - \text{OEt} \end{array}$$

●2 HC1

- RN 236415-05-7 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(4-ethoxy-4-oxobutyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride [i:1) (CA INDEX NAME)

$$\begin{array}{c} O & Ph-S = O \\ EtO-C-(CH_2)_3-N & CH_2 \\ \hline \\ CH_2-C-OEt \end{array}$$

HC1

- RN 236415-07-9 HCAPLUS
- CN lH-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

■ HCI

- RN 236415-08-0 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-(phenylmethyl)-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-S-NH} \\ \text{N} \\ \text{CH}_2 \\ \text{Ph} \end{array}$$

HC1

- RN 236415-09-1 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-[2-(4-morpholiny1)ethy1]-5-[(pheny1sulfony1)amino]-IH-benzimidazol-2-y1]methy1]-, hydrochloride (1:2) (CA INDEX NAME)

● 2 HCl

- RN 236415-10-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-[2-(dimethylamino)ethyl]-5[(phenylsulfonyl)amino]-1H-benzimidazo1-2-yl]methyl]-, hydrochloride (1:2)
 (CA INDEX NAME)

●2 HC1

- RN 236415-11-5 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(2-ethoxy-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 236415-12-6 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, monohydrochloride (961) (CA INDEX NAME)

$$\begin{array}{c} \bullet \\ \bullet \\ \text{Ph-S} \\ \text{EtO-C-CH}_2 \\ \text{N} \\ \bullet \\ \text{CH}_2 \\ \text{Ph} \end{array}$$

● HC1

- RN 236415-14-8 HCAPLUS
- CN Glycine, N-[2-[[2-[[4-(aminoiminomethyl])phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-guinolinylsulfonyl)amino]-2-oxoethyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ \text{EtO-C-CH}_2\text{-NH-CH}_2\text{-C} & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

● HC1

- RN 236415-15-9 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[2-[4-(dimethylamino]-1-piperidinyl]-2-oxoethyl] (8-quinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 236415-16-0 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

- RN 236415-18-2 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(2-amino-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} O \\ O \\ Ph \\ S \\ O \\ H_2N \\ -C \\ -CH_2 \\ -N \\ O \\ (CH_2)_3 \\ -C \\ -OEt \\ \end{array}$$

- RN 236415-19-3 HCAPLUS
- CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1Hbenzimidazol-5-yl](phenylsulfonyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 236415-20-6 HCAPLUS
- CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl)(phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

- RN 236415-21-7 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-S} = \text{O} \\ \text{HO}_2\text{C-CH}_2 - \text{N} \\ \text{N} = \text{CH}_2 - \text{CH}_2 - \text{N} \\ \end{array}$$

- 2 HC1
- RN 236415-22-8 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(dimethylamino)ethyl-lH-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{Ph-S} = \text{O} \\ \text{EtO-C-CH}_2 - \text{N} \\ \text{N} \\ \text{CH}_2 - \text{CH}_2 - \text{NMe}_2 \end{array}$$

- ●2 HC1
- RN 236415-23-9 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1Hbenzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-} \\ \text{S} \\ \text{O} \\ \text{HO}_2\text{C-} \\ \text{CH}_2 \\ \text{N} \\ \text{CH}_2 \\ \text{Ph} \\ \end{array}$$

- RN 236415-24-0 HCAPLUS
- CN Glycine, N-[2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl][8-quinoilnylsulfonyl)amino]-2-oxoethyl]-, monohydrochloride (901) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{HO}_2\text{C}-\text{CH}_2-\text{NH}-\text{CH}_2-\text{C} \\ \text{N} \\ \text{O} \end{array}$$

● HCl

- RN 236415-25-1 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(dimethylamino)ethyl]-IH-benzimidazol-5-yl]-N-(phenylsulfonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

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●2 HC1

- RN 236415-26-2 HCAPLUS
- CN Benzenecarboximidamide, 4-[(1-methyl-5-[(2-(4-methyl-1-piperazinyl)-2-oxoethyl)(8-quinolinylsulfonyl)amino]-H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

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- RN 236415-28-4 HCAPLUS
- CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-l-methyl-lHbenzimidazol-5-yl](8-quinolinylsulfonyl)amino]-N-[2-(dimethylamino)ethyl]-N-ethyl-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{Et 0} \\ \text{Me}_2 \text{N} - \text{CH}_2 - \text{CH}_2 - \text{N} - \text{C} - \text{CH}_2 \\ \text{N} \\ \text{S} = \text{O} \\ \text{Me} \end{array}$$

●2 HC1

- RN 236415-29-5 HCAPLUS
- CN L-Asparagine, N-[2-[(4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsuifonyl)glycyl-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

- RN 236415-30-8 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[[2-[4-[2-(4-morpholiny1)-2-oxoethyl]]-1-piperaziny1]-2-oxoethyl] (8-quinolinylsulfonyl) amino]-Hbenzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

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●2 HC1

- RN 236415-31-9 HCAPLUS
- RN 206415-31-9 MCAPLUS
 CN 1H-Benrimidazole-l-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[2-(dimethylamino)ethyl](8-quinolinylsulfonyl)amino]-, ethyl ester,
 hydrochloride (1:2) (CA INDEX NAME)

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●2 HC1

- RN 236415-32-0 HCAPLUS
- CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazo1-5-yl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 236415-34-2 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[2-

(dimethylamino)ethyl](phenylsulfonyl)amino]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:3) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-S} \\ \text{S} \\ \text{O} \\ \text{Me}_2 \\ \text{N-CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{N-CH}_2 \\ \text{N-CH}_2$$

3 HC1

- RN 236415-35-3 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 236415-36-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-(phenylmethyl)-1Hbenzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ O \\ CH_2-Ph \end{array}$$

- RN 236415-37-5 HCAPLUS
- CN
- L-Asparagine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1Hbenzimidazo1-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HC1

- 236415-39-7 HCAPLUS RN
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1Hbenzimidazol-5-v1]-N-(8-quinolinylsulfonyl)-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{O} \\ \text{O} \\ \text{O} \\ \text{O} \\ \text{N} \\ \text{Me} \end{array}$$

HC1

- RN 236415-40-0 HCAPLUS
- CN Glycine, N-[2-[[4-[imino](methoxycarbonyl)amino]methyl]phenyl]methyl]-1methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

- RN 236415-42-2 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino]ethyl] (8-quinolinylsulfonyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 236415-43-3 HCAPLUS
- CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-HH-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

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$$\begin{array}{c} \text{NH} \\ \text{Ph-s=0} \\ \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-NH-CH}_2\text{-COBL} \\ \\ \text{CH}_2\text{-C-NH-CH}_2\text{-C-OBL} \\ \end{array}$$

- RN 236415-44-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-1-(phenylmethyl)-1Hbenzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

- 2 HC1
- RN 236415-45-5 HCAPLUS
- CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-IH-benzimidazol-1-yl]acetyl]-, dihvdrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} O & NH \\ Ph-S = O & C-NH_2 \\ Me_2N-CH_2-CH_2-N & CH_2 \\ \hline & N & CH_2 \\ \hline & CH_2-C-NH-CH_2-CO_2H \\ \end{array}$$

- ●2 HC1
- RN 236415-46-6 HCAPLUS
- CN Glycine, N-[2-[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidaol-5-yl]-N-(8-quinolinylsulfonyl)-, 2-methylpropyl ester (CA INDEX NAME)

- RN 236415-48-8 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(5-isoquinolinylsulfonyl)-, ethyl ester, monohydrochloride (9c1) (CA INDEX NAME)

$$\begin{array}{c} N \\ O \\ O \\ O \\ \end{array}$$

● HC1

- RN 236415-49-9 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[(5-isoquinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

- RN 236415-50-2 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-(phenylmethyl)-5-[(phenylsulfonyl)[2-(1-pyrrolidinyl)ethyl]amino]-1H-benzimidazo1-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

- RN 236415-51-3 HCAPLUS
- CN IH-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[(phenylsulfonyl)[2-(1-pyrrolidinyl)ethyl]amino]-, ethyl ester,
 hydrochloride (1:2) (CA INDEX NAME)

- RN 236415-52-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-(phenylmethyl)-5-[(phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-IH-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

- RN 236415-53-5 HCAPLUS
- CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 236415-55-7 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(5-isoquinolinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

- RN 236415-56-8 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester,
 hydrochloride (1:2) (CA INDEX NAME)

- RN 236415-57-9 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

- RN 236415-58-0 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph} = \text{S} = \text{O} \\ \text{Me}_2 \text{N} - (\text{CH}_2)_3 - \text{N} \\ \text{N} \\ \text{CH}_2 \\ \text{(CH}_2)_3 - \text{CO}_2 \text{H} \end{array}$$

- RN 236415-59-1 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-, hydrochloride (1:2) (CA INDEX NAME)

- RN 236415-60-4 HCAPLUS
- CN Benzoic acid, 4-[[2-[[4-(aminoiminomethyl])phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-IH-benzimidazol-1-yl]methyl]-, methyl ester, hydrochloride (1:2) (CA INDEX NAME)

RN 236415-62-6 HCAPLUS CN 1H-Benzimidazole-1-propanoic acid,

2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-

(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-} \\ \text{S} = \text{O} \\ \text{Me}_2 \text{N} - \text{CH}_2 - \text{CH}_2 - \text{N} \\ \text{CH}_2 - \text{CH}_2 - \text{C} - \text{OEt} \end{array}$$

●2 HCl

RN 236415-63-7 HCAPLUS

CN

1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} - \text{S} = \text{O} \\ \text{Me}_2 \text{N} - (\text{CH}_2)_3 - \text{N} \\ \text{N} \\ \text{CH}_2 - \text{CH}_2 - \text{C} - \text{OEt} \end{array}$$

- RN 236415-64-8 HCAPLUS
- CN 1H-Benzimidazole-1-propanoic acid,
 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2(dimethylamino)ethyl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA
 INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-S} = \text{O} \\ \text{Me}_2 \text{N} - \text{CH}_2 - \text{CH}_2 - \text{N} \\ \text{N} \\ \text{CH}_2 - \text{CH}_2 - \text{CO}_2 \text{H} \end{array}$$

- RN 236415-65-9 HCAPLUS
- CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)]phenyl]methyl]-5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

- RN 236415-70-6 HCAPLUS
- CN Glycine, N-[2-[[4-[imino[[(2-methylpropoxy)carbonyl]amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{O} \\ \text{O} \\ \text{O} \\ \text{O} \\ \text{N} \\ \text{N} \\ \text{Me} \end{array}$$

- RN 236415-74-0 HCAPLUS
- CN Glycine, N-[2-[[4-[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]meth yl]-l-methyl-lH-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 236415-75-1 HCAPLUS
- CN Glycine, N-[2-[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenyl]meth yl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 236415-81-9 HCAPLUS
- CN Glycine, N-[2-[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]methyl]-1methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 236415-83-1 HCAPLUS
- CN Glycine, N-[2-[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

- RN 236415-85-3 HCAPLUS
- CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-IH-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-S} & \text{O} & \text{NH} \\ \text{Et}_2\text{N-CH}_2\text{-CH}_2\text{-N} & \text{C-NH}_2 \\ \\ \text{CH}_2\text{-C-NH-CH}_2\text{-C-OEt} \end{array}$$

- RN 236415-88-6 HCAPLUS
- CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino]ethyl](phenylsulfonyl)amino]-Hh-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

- RN 236415-94-4 HCAPLUS
- CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[(1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-Hh-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9GI) (CA INDEX NAME)

- RN 236415-95-5 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethy1)pheny1]methy1]-1-methy1-1Hbenzimidazol-5-y1]-N-(8-quinoliny1sulfony1)glycy1-N-methy1-, ethy1 ester, monohydrochloride (9C1) (CA INDEX NAME)

● HC1

- RN 236415-97-7 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethy1)pheny1]methy1]-1-methy1-1H-benzimidazo1-5-y1]-N-(8-quinoliny1sulfony1)glycy1-N-methy1-, monohydrochloride (9C1) (CA INDEX NAME)

$$\begin{array}{c} \text{Me O} \\ \text{HO}_2\text{C}-\text{CH}_2-\text{N}-\text{C}-\text{CH}_2 \\ \text{N} \\ \text{O} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \end{array}$$

● HCl

- RN 236415-98-8 HCAPLUS
- CN Glycine, N-[2-[[4-[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]meth yl]-l-methyl-lH-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} 0 \\ \text{EtO-C-CH}_2 \\ \text{NH-C-CH}_2 \\ \text{NH-C-O} \\ \text{NH-CH}_2 \\ \text{NH-C-O} \\ \text{Me} \\ \end{array}$$

- RN 236415-99-9 HCAPLUS
- CN Glycine, N-[2-[[4-[imino[](phenylmethoxy)carbonyl]amino]methyl]phenyl]meth yl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{Beto-C-CH}_2\text{-NH-C-CH}_2\\ \text{NN-CH}_2\\ \text{NN-CH}_2\\ \text{NN-CH}_2\\ \text{NN-CH}_2\\ \text{NN-CH}_2\\ \text{NN-CH}_2\\ \text{NN-CH}_2\\ \text{NN-C-O-CH}_2\text{-Ph}\\ \text{NN-CH}_2\\ \text{NN-CH}$$

- RN 236416-01-6 HCAPLUS
- CN Glycine, N-[(2-[(4-(aminoiminomethyl)phenyl]methyl]-5-[((1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ CH_2-N \\ N \\ Me \end{array} \qquad \begin{array}{c} NH \\ C-NH_2 \\ CH_2-C-NH-CH_2-CO_2H \\ \end{array}$$

- ●2 HC1
- RN 236416-23-2 HCAPLUS
- CN Glycine, N-[2-[4-[[(1,1-

dimethylethoxy)carbonyl]amino]iminomethyl]phenyl]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

- RN 236416-35-6 HCAPLUS
- CN β-Alanine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HC1

- RN 236416-36-7 HCAPLUS
- CN β-Alanine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

- RN 236416-46-9 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-} \\ \text{S=0} \\ \text{Me}_2 \\ \text{N-} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{Me} \end{array}$$

- IT 236418-60-3
 - RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of five-membered benzo-condensed heterocycles as antithrombotics)
- RN 236418-60-3 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanopheny1)methy1]-5-[(phenylsulfony1)amino]- (CA INDEX NAME)

IT 236417-29-1P 236417-38-2P 236417-39-3P 236418-58-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of five-membered benzo-condensed heterocycles as antithrombotics)

RN 236417-29-1 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 236417-38-2 HCAPLUS

CN Glycine, N-[[2-[(4-cyanopheny1)methyl]-5-[(phenylsulfony1)amino]-1Hbenzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-S-NH} \\ \text{O} \\ \text{O} \\ \text{CH}_2-\text{C-NH-CH}_2-\text{C-OE} \end{array}$$

RN 236417-39-3 HCAPLUS

CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[[(1-methyl-2-piperidinyl)methyl] (phenylsulfonyl)amino]-lH-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 236418-58-9 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:505930 HCAPLUS

DOCUMENT NUMBER: 131:157761

TITLE: 5-Membered heterocyclic condensed benzo derivatives,

their preparation, and their use as drugs
INVENTOR(S): Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Pr

INVENTOR(S): Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Priepke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen,

Wolfgang; Zimmermann, Rainer Boehringer Ingelheim Pharma K.-G., Germany

PATENT ASSIGNEE(S): Boehringer Ingelheim P SOURCE: Ger. Offen., 94 pp.

SOURCE: Ger. Offen., 94 pp

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE DE 19804085 A1 19990805 DE 1998-19804085 19980203 <--CA 2319494 A1 19990812 CA 1999-2319494 19990128 <--WO 1999-EP537 WO 9940072 19990812 A1 19990128 <--W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HH, HU, ID, II, IN, IS, JP, KE, KG, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,

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FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 9927201 Α 19990823 AU 1999-27201 19990128 <--EP 1060166 A1 20001220 EP 1999-907437 19990128 <--AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO JP 2002502844 20020129 JP 2000-530502 19990128 <--Τ US 6114532 Α 20000905 US 1999-243200 19990202 <--MX 2000005785 Α 20010123 MX 2000-5785 20000612 <--PRIORITY APPLN. INFO.: DE 1998-19804085 19980203 US 1998-77694P P 19980312 DE 1998-19834325 19980730 Α WO 1999-EP537 19990128

OTHER SOURCE(S): MARPAT 131:157761

AB Approx. 300 antithrombotic title compds. such as

4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1Hbenzimidazol-2-ylmethyl]benzamidine hydrochloride (I),

4-[5-[N-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-benzimidazo1-2-ylmethyl]benzamidine dihydrochloride,

4-[5-[N-(3-carboxypropionyl)-N-(cyclopentyl)amino]-1-methyl-1H-

benzimidazol-2-ylmethyl]benzamidine hydrochloride (II), and

4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-

benzothiazol-2-ylmethyl]benzamidine hydrochloride were prepared by standard methods. The ED200 in µM for I was 0.92 and for II was 0.82. Formulations for the antithrombotics were given.

237750-48-0P 237750-49-1P 237750-50-4P

237750-51-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antithrombotic activity of

benzimidazolylmethylbenzamidines)

RN 237750-48-0 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 237750-49-1 HCAPLUS

CN Glycine, N-[2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-2-oxoethyl]- (CA INDEX NAME)

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- RN 237750-50-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-(phenylmethyl)-1Hbenzimidazo1-2-yl]methyl]- (CA INDEX NAME)

- RN 237750-51-5 HCAPLUS
- CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino]ethyl] (phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c} O & NH \\ Ph-S = O & C-NH_2 \\ Et_2N-CH_2-CH_2-N & CH_2 \\ \hline \\ CH_2-C-NH-CH_2-CO_2H \\ \hline \end{array}$$

TT 236414-82-7 236418-60-3 237750-76-4 237750-78-6 237750-83-3 237750-80-0 237750-82-2 237750-83-3 237750-85-5 237750-86-6 237750-85-6 237750-96-8 237750-96-8 237750-97-9 237750-96-8 237751-01-8 237751-01-8 237751-10-9 237

RN

CN

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237751-14-3 237751-15-4 237751-16-5
237751-17-6 237751-18-7 237751-19-8
237751-20-1 237751-21-2 237751-22-3
237751-23-4 237751-24-5 237751-25-6
237751-26-7 237751-36-9 237751-37-0
237751-41-6 237751-43-8 237751-52-9
237751-62-1 237751-64-3 237751-94-9
237751-95-0 237751-99-4 237752-00-0
237752-01-1 237752-07-7 237752-09-9
237752-10-2 237752-11-3 237752-12-4
237752-13-5 237752-14-6 237752-16-8
237752-17-9 237752-18-0 237752-19-1
237752-20-4 237752-21-5 237752-22-6
237752-23-7 237752-24-8 237752-25-9
237752-26-0 237752-27-1 237752-28-2
237752-29-3
RL: RCT (Reactant); RACT (Reactant or reagent)
   (preparation and antithrombotic activity of
   benzimidazolvlmethvlbenzamidines)
236414-82-7 HCAPLUS
```

Benzenecarboximidamide, 4-[[1-methyl-5-[[2-(4-morpholinyl)ethyl](8-quinolinylsulfonyl)amino]-H-benzimidazol-2-yl]carbonyl]-, hydrochloride (1:2) (CA INDEX NAME)

● 2 HC1

RN 236418-60-3 HCAPLUS CN 1H-Benzimidazole-1-a

1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]- (CA INDEX NAME)

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- RN 237750-76-4 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-propyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 237750-78-6 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanopheny1)methy1]-1-ethy1-1H-benzimidazo1-5-y1]- (CA INDEX NAME)

- RN 237750-79-7 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

- RN 237750-80-0 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanopheny1)methy1]-5-[(phenylsulfony1)amino]-, ethy1 ester (CA INDEX NAME)

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RN 237750-82-2 HCAPLUS

CN 1-Naphthalenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1Hbenzimidazol-5-yl]- (CA INDEX NAME)

RN 237750-83-3 HCAPLUS

CN 2-Naphthalenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1Hbenzimidazol-5-yl]- (CA INDEX NAME)

RN 237750-85-5 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1Hbenzimidazo1-5-yl]- (CA INDEX NAME)

- RN 237750-86-6 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-3,5-bis(trifluoromethyl)- (CA INDEX NAME)

- RN 237750-87-7 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-2,5-dimethoxy- (CA INDEX NAME)

- RN 237750-88-8 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanopheny1)methyl]-1-methyl-1H-benzimidazol-5-yl]-2,3,5,6-tetramethyl- (CA INDEX NAME)

- RN 237750-96-8 HCAPLUS
- CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-[2-(4-morpholinyl)ethyl]- (CA INDEX NAME)

RN 237750-97-9 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanopheny1)methy1]-1-methy1-1H-benzimidazol-5-y1]-N-[2-(4-morpholiny1)-2-oxoethy1]- (CA INDEX NAME)

RN 237750-98-0 HCAPLUS

CN Butanoic acid, 4-[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 237750-99-1 HCAPLUS

10572826

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 237751-01-8 HCAPLUS
- CN Benzoic acid, 3-[[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, ethyl ester (CA INDEX NAME)

- RN 237751-06-3 HCAPLUS
- CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-cuinolinylsulfonyl)- (CA INDEX NAME)

- RN 237751-07-4 HCAPLUS
- CN 8-Quinolinesulfonamide, N-[2-[(4-cyanopheny1)methy1]-1-methy1-1H-benzimidazol-5-y1]-N-[3-(dimethylamino)propy1]- (CA INDEX NAME)

RN 237751-08-5 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanopheny1)methy1]-1-methy1-1Hbenzimidazo1-5-y1]-N-[2-(dimethylamino)ethy1]- (CA INDEX NAME)

RN 237751-09-6 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 237751-10-9 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)

- RN 237751-12-1 HCAPLUS
- CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

- RN 237751-13-2 HCAPLUS
- CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

- RN 237751-14-3 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanopheny1)methy1]-1-(phenylmethy1)-1H-benzimidazol-5-y1]-N-[3-(dimethylamino)propy1]- (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{Ph} - \text{S} = \text{O} \\ \text{Me}_2 \text{N} - (\text{CH}_2)_3 - \text{N} \\ \text{N} \\ \text{CH}_2 - \text{Ph} \end{array}$$

- RN 237751-15-4 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, 2-methylpropyl ester, monohydrochloride (901) (CA INDEX NAME)

HC1

- RN 237751-16-5 HCAPLUS
- CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(5-isoquinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 237751-17-6 HCAPLUS
- CN 5-Isoquinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 237751-18-7 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

- RN 237751-19-8 HCAPLUS
- CN lH-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)[2-(1-pyrrolidinyl)ethyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 237751-20-1 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

RN 237751-21-2 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[(4-cyanopheny1)methy1]-5-[(phenylsulfony1)amino]-, ethyl ester (CA INDEX NAME)

RN 237751-22-3 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 237751-23-4 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

RN 237751-24-5 HCAPLUS

CN Benzoic acid, 4-[(2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]methyl]-, methyl ester (CA INDEX NAME)

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- RN 237751-25-6 HCAPLUS
- CN 1H-Benzimidazole-1-propanoic acid, 2-[(4-cyanophenyl]methyl]-5-[(2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

- RN 237751-26-7 HCAPLUS
 - CN 1H-Benzimidazole-1-propanoic acid, 2-[(4-cyanopheny1)methy1]-5-[[3-

(dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} 0 \\ \text{Ph-S} = 0 \\ \text{Me}_2 \text{N-} (\text{CH}_2)_3 - \text{N} \\ \text{N} \\ \text{CH}_2 - \text{CH}_2 - \text{C} - \text{OEI} \end{array}$$

- RN 237751-36-9 HCAPLUS
- CN Glycine, N-[2-[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

- RN 237751-37-0 HCAPLUS
- CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[[2-(diethylamino)ethyl](phenylaulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9C1) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-S} & \text{O} \\ \text{Et}_2\text{N-CH}_2\text{-CH}_2\text{-NH-CH}_2\text{-C-OE} \\ \\ \text{CH}_2\text{-C-NH-CH}_2\text{-C-OE} \end{array}$$

- RN 237751-41-6 HCAPLUS
- CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, ethyl ester (CA INDEX NAME)

- RN 237751-43-8 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

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● HCl

- RN 237751-52-9 HCAPLUS
- CN Glycine, N-[2-[4-[[(1,1-dimethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 237751-62-1 HCAPLUS
- CN β-Alanine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 237751-64-3 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)

- RN 237751-94-9 HCAPLUS
- CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-propyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 237751-95-0 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-propyl-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

- RN 237751-99-4 HCAPLUS
- CN 3-Pyridinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1Hbenzimidazol-5-yl]- (CA INDEX NAME)

- RN 237752-00-0 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanopheny1)methy1]-5-[(2-ethoxy-2-oxoethy1)(pheny1sulfony1)amino]-, ethy1 ester (CA INDEX NAME)

- RN 237752-01-1 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanopheny1)methy1]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

- RN 237752-07-7 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanopheny1)methy1]-5-[(4-ethoxy-4-oxobuty1)(phenylsulfony1)amino]-, ethy1 ester (CA INDEX NAME)

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10572826

- RN 237752-09-9 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5[(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

- RN 237752-10-2 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1Hbenzimidazol-5-yl]- (CA INDEX NAME)

- RN 237752-11-3 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 237752-12-4 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanopheny1)methy1]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 237752-13-5 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanopheny1)methy1]-5-[(2-ethoxy-2-oxoethy1)(phenylsulfony1)amino]-, ethy1 ester (CA INDEX NAME)

- RN 237752-14-6 HCAPLUS
- CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 237752-16-8 HCAPLUS
- CN Glycine, N-[2-[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl](8quinolinylsulfonyl)amino]-2-oxoethyl]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ \text{EtO-C-CH}_2\text{-NH-CH}_2\text{-} & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

- RN 237752-17-9 HCAPLUS
- CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-[4-(dimethylamino)-1-piperidinyl]-2-oxoethyl]-(CA INDEX NAME)

RN 237752-18-0 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 237752-19-1 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 5-[(2-amino-2-oxoethyl) (phenylsulfonyl)amino]-2-[(4-cyanophenyl)methyl]-, ethyl ester (CA INDEX NAME)

RN 237752-20-4 HCAPLUS

CN Acetamide, 2-[[2-[(4-cyanopheny1)methy1]-1-(phenylmethy1)-1H-benzimidazol-5-yl](phenylsulfony1)amino]- (CA INDEX NAME)

- RN 237752-21-5 HCAPLUS
- CN Acetamide, 2-[[2-[(4-cyanophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl](phenylsulfonyl)amino]- (CA INDEX NAME)

- RN 237752-22-6 HCAPLUS
- CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-[2-(dimethylamino)ethyl]-1Hbenzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 237752-23-7 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(4-methyl-1-piperazinyl)-2-oxoethyl]- (CA INDEX NAME)

- RN 237752-24-8 HCAPLUS
- CN Acetamide, 2-[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-N-[2-(dimethylamino)ethyl]-N-ethyl- (CA INDEX NAME)

- RN 237752-25-9 HCAPLUS
- CN Butanoic acid, 3-amino-4-[[[2-[(4-cyanophenyl))methyl]-1-methyl-1H-benzimidazol-5-yl][8-quinolinylsulfonyl)amino]acetyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

- RN 237752-26-0 HCAPLUS
- CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-[4-[2-(4-morpholinyl)-2-oxoethyl]-1-piperazinyl]-2-oxoethyl]- (CA INDEX NAME)

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RN 237752-27-1 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

- RN 237752-28-2 HCAPLUS
- CN Acetamide, 2-[[2-[(4-cyanophenyl)methyl]-1-[2-(dimethylamino)ethyl]-1Hbenzimidazo1-5-yl](phenylsulfonyl)amino]- (CA INDEX NAME)

- RN 237752-29-3 HCAPLUS
- CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-[2-(4morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)

- IT 236414-44-1P 236414-46-3P 236414-71-4P 236414-72-5P 236414-89-4P 236415-12-6P
 - 236415-16-0P 236415-22-8P 236415-28-4P
 - 236415-39-7P 236415-43-3P 236415-48-8P 236415-56-8P 236415-57-9P 236415-62-6P
 - 236415-63-7P 236415-85-3P 236415-94-4P
 - 236415-95-5P 236416-35-6P 236417-29-1P
 - 236417-38-2P 236417-39-3P 236418-58-9P 237750-36-6P 237750-40-2P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation and antithrombotic activity of benzimidazolylmethylbenzamidines)
- RN 236414-44-1 HCAPLUS
 - lH-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

- RN 236414-46-3 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, monohydrochloride (901) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{Ph} \\ \text{EtO} \\ \text{C} \\ \text{CH}_2 \\ \text{O} \\ \text{N} \\ \text{Me} \end{array}$$

● HCl

- RN 236414-71-4 HCAPLUS
- CN Butanoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 236414-72-5 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[8-quinolinylsulfonyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

- RN 236414-89-4 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-propyl-1Hbenzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

- RN 236415-12-6 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

- RN 236415-16-0 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ O \\ Ph-S \\ = O \\ EtO-C-CH_2-N \\ \end{array} \\ \begin{array}{c} NH \\ C-NH_2 \\ \\ N-CH_2-CH_2-N \\ \end{array} \\ \begin{array}{c} O \\ C-NH_2 \\ \\ O \\ \end{array}$$

●2 HC1

- RN 236415-22-8 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{Ph-S} = \text{O} \\ \text{EtO-C-CH}_2 - \text{N} \\ \text{N} \\ \text{CH}_2 - \text{CH}_2 - \text{NMe}_2 \end{array}$$

●2 HC1

- RN 236415-28-4 HCAPLUS
- CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidaol-5-yl][8-quinolinyl]sulfonyl]amino]-N-[2-(dimethylamino)ethyl]-N-ethyl-, hydrochloride (1:2) (CA INDEX NAME)

- RN 236415-39-7 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethy1)pheny1]methy1]-1-methy1-1H-benzimidazo1-5-y1]-N-(8-quinoliny1sulfony1)-, methy1 ester, monohydrochloride (9C1) (CA INDEX NAME)

● HC1

- RN 236415-43-3 HCAPLUS
- CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl] (phenylaulfonyl)amino]-IH-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

- RN 236415-48-8 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(5-isoquinolinylsulfonyl)-, ethyl ester, monohydrochloride (961) (CA INDEX NAME)

● HCT

- RN 236415-56-8 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3-(dimethylamino)propyl)[phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-} \\ \text{S} = \text{O} \\ \text{Me}_2 \text{N} - \text{(CH}_2)_3 - \text{N} \\ \text{(CH}_2)_3 - \text{C} - \text{OEt} \\ \end{array}$$

● 2 HCl

- RN 236415-57-9 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 236415-62-6 HCAPLUS
- CN 1H-Benzimidazole-1-propanoic acid,
 - 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride
 - (1:2) (CA INDEX NAME)

$$Ph - S = 0$$
 $Me_2N - CH_2 - CH_2 - N$
 NH
 $CH_2 - CH_2 - CH$

- 2 HC1
- RN 236415-63-7 HCAPLUS
- CN 1H-Benzimidazole-1-propanoic acid,
 2-[[4-(aminoiminomethyl]phenyl]methyl]-5-[[3(dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride
 (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-S} = \text{O} \\ \text{Me}_2\text{N-} (\text{CH}_2)_3 - \text{N} \\ \text{N} \\ \text{CH}_2 - \text{CH}_2 - \text{C} - \text{OEt} \end{array}$$

- 236415-85-3 HCAPLUS RN
- CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \text{Ph-S} = \text{O} \\ \\ \text{Et}_2\text{N-CH}_2\text{-CH}_2\text{-NH-CH}_2 \\ \end{array} \\ \begin{array}{c} \text{C} \\ \text{C} \\ \text{C} \end{array} \\ \begin{array}{c} \text$$

2 HC1

236415-94-4 HCAPLUS

RN

Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[(1-methyl-2-CN piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

● 2 HC1

- RN 236415-95-5 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethy1)pheny1]methy1]-1-methy1-1Hbenzimidaou-15-y1]-n-(8-quinoliny1sulfony1)glycy1-N-methy1-, ethy1 ester, monohydrochloride (9C1) (CA INDEX NAME)

● HC1

- RN 236416-35-6 HCAPLUS
- CN β-Alanine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

- RN 236417-29-1 HCAPLUS
- CN Benzenesulfonamide, N=[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 236417-38-2 HCAPLUS
- CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-1Hbenzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

- RN 236417-39-3 HCAPLUS
- CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[[(1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9C1) (CA INDEX NAME)

- RN 236418-58-9 HCAPLUS
- CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 237750-36-6 HCAPLUS

CN Benzoic acid, 3-[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HC1

RN 237750-40-2 HCAPLUS

CN Butanoic acid, 3-amino-4-[[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidaou-5-yl] [8-quinolinylaulfonyl] aminol [actyl]aminol]-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

IT 236414-28-IP 236414-34-9P 236414-47-4P 236414-42-9P 236414-45-2P 236414-47-4P 236414-51-0P 236414-55-1P 236414-51-0P 236414-51-0P 236414-56-3P 236414-69-0P 236414-91-29-0P 236415-09-0P 236415-09-0P 236415-09-0P 236415-09-0P 236415-09-0P 236415-10-19-2236415-10-9P 236415-10-9P 236415-10-9

236415-30-8P 236415-31-9P 236415-32-0P 236415-34-2P 236415-35-3P 236415-36-4P 236415-40-0P 236415-42-2P 236415-44-4P 236415-45-5P 236415-46-6P 236415-49-9P 236415-50-2P 236415-51-3P 236415-52-4P 236415-53-5P 236415-55-7P 236415-58-0P 236415-59-1P 236415-60-4P 236415-64-8P 236415-65-9P 236415-70-6P 236415-74-0P 236415-75-1P 236415-81-9P 236415-83-1P 236415-88-6P 236415-97-7P 236415-98-8P 236415-99-9P 236416-01-6P 236416-23-2P 236416-36-7P 236416-46-9P 237750-39-9P 237750-41-3P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and antithrombotic activity of benzimidazolylmethylbenzamidines) 236414-28-1 HCAPLUS

236415-23-9P 236415-24-0P 236415-25-1P

RN 236414-28-1 HCAPLUS CN Benzenecarboximidamide, 4-[[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 236414-34-9 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[(phenylsulfonyl)amino]-1-propyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 236414-40-7 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-ethyl-5-[(phenylsulfonyl)amino]-1Hbenzimidazo1-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

- HCl
- RN 236414-42-9 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[methyl(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

- HCl
- RN 236414-45-2 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[(phenylsulfonyl)amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-S-NH} \\ \text{O} \\ \text{N} \\ \text{CH}_2-\text{CO}_2\text{H} \end{array}$$

RN 236414-47-4 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 236414-51-0 HCAPLUS
CN Benzenecarboximidamide, 4-[[1-methyl-5-[(1-naphthalenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 236414-52-1 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[(2-naphthalenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

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- RN 236414-54-3 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 236414-55-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[3,5-bis(trifluoromethyl]phenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 236414-56-5 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[(2,5-dimethoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 236414-57-6 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[[(2,3,5,6-tetramethylphenyl)sulfonyl]amino]-IH-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 236414-69-0 HCAPLUS
- CN Benzenecarboximidamide, 4-[(1-methyl-5-[(2-(4-morpholinyl)ethyl)(8-quinolinylsulfonyl)amino]-lH-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

- RN 236414-70-3 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[[2-(4-morpholinyl)-2-oxoethyl](8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 236414-80-5 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

- 236414-81-6 HCAPLUS RN
- CN Butanoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1Hbenzimidazo1-5-y1](8-quinolinylsulfonyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 236414-84-9 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[3-(dimethylamino)propyl](8quinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

- RN 236414-85-0 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](8quinolinjusulfonyl)mamino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N}-\text{CH}_2-\text{CH}_2 \\ \text{N} \\ \text{N} \\ \text{O} \end{array}$$

●2 HC1

- RN 236414-87-2 HCAPLUS
- CN Benzoic acid, 3-[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, hydrochloride (1:1) (CA INDEX NAME)

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● HC1

- RN 236414-91-8 HCAPLUS
 CN Benzenecarboximidamide, 4-[[5-[methyl(phenylsulfonyl)amino]-1-propyl-lh-benzimidazoi-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)
- Ph- S 0 NH CH2 C-NH2

HC1

- RN 236414-92-9 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-propyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

- RN 236414-96-3 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methy1-5-[(3-pyridiny1sulfony1)amino]-1H-

benzimidazo1-2-y1]methy1]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 236414-97-4 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(2-ethoxy-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{Ph-S} \\ \text{EtO-C-CH}_2 \\ \text{N} \\ \text{O} \\ \text{CH}_2 \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{DEt} \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{DE} \\ \text{C} \\ \text{C} \\ \text{D} \\ \text{C} \\ \text{C} \\ \text{D} \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{D} \\ \text{C} \\ \text{C}$$

HC1

- RN 236414-98-5 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[(4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \bullet \\ \text{Ph-S} = \bullet \\ \text{Me}_2 \text{N} - \text{CH}_2 - \text{CH}_2 - \text{N} \\ \text{CH}_2 - \text{C} - \text{OEt} \end{array}$$

- RN 236415-05-7 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(4-ethoxy-4-oxobutyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} O & \text{Ph-} \\ O & \text{Ph-} \\ \text{EtO-} \\ \text{C-} \\ \text{(CH2)} \\ 3 \\ \text{N} \\ \text{CH2-} \\ \text{ODEt} \\ \end{array}$$

HC1

- RN 236415-07-9 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 236415-08-0 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-(phenylmethyl)-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{NH} \\ \text{Ph-S-NH} \\ \text{N} \\ \text{CH}_2 \\ \text{Ph} \end{array}$$

● HC1

- RN 236415-09-1 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-[2-(4-morpholinyl)ethyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} NH \\ NH \\ C-NH_2 \\ O \\ N-CH_2-CH_2-N \\ \end{array}$$

● 2 HC1

- RN 236415-10-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-[2-(dimethylamino)ethyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

- RN 236415-11-5 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(2-ethoxy-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 236415-14-8 HCAPLUS
- CN Glycine, N-[2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)mamino[-2-oxoethyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

- RN 236415-15-9 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[2-[4-(dimethylamino)-1-piperidiny1]-2-oxoethyl] (8-quinoliny1sulfonyl)amino]-1-methyl-1Hh-benzimidazol-2-yl)methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 236415-18-2 HCAPLUS
- CN lH-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(2-amino-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} O & Ph-S & O \\ H_2N-C-CH_2-N & O & CH_2 \\ \hline \\ N & CH_2 \\ \hline \\ (CH_2)_3-C-OEt \\ \end{array}$$

● HC1

- RN 236415-19-3 HCAPLUS
- CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1Hbenzimidazol-5-yl](phenylsulfonyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 236415-20-6 HCAPLUS
- CN Acetamide, 2-[[2-[[4-(aminoiminomethy1)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl)(phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 236415-21-7 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-IH-benzimidazol-5-yl]-N-(phenylsulfonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

- 2 HC1
- RN 236415-23-9 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1Hbenzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

- HCl
- RN 236415-24-0 HCAPLUS
- CN Glycine, N-[2-[[2-[[4-(aminoiminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 236415-30-8 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[[2-[4-[2-(4-morpholinyl)-2-oxoethyl]]-1-piperazinyl]-2-oxoethyl][8-quinolinylsulfonyl)maino]-Hbenzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

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PAGE 1-A

PAGE 2-A CH₂

2 HC1

- RN 236415-31-9 HCAPLUS
- 236413-31-9 ACAFLUS
 HB-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[2-(dimethylanino)ethyl](8-quinolinylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME) CN

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- RN 236415-32-0 HCAPLUS
- CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazo1-5-yl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 236415-34-2 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[2-

(dimethylamino)ethyl](phenylsulfonyl)amino]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:3) (CA INDEX NAME)

$$\begin{array}{c} \bullet \\ \text{Ph} - \$ = \bullet \\ \bullet \\ \text{Me}_2 \text{N} - \text{CH}_2 - \text{CH}_2 - \text{N} \\ \bullet \\ \text{N} - \text{CH}_2 - \text{CH}_2 - \text{N} \\ \end{array}$$

3 HC1

- RN 236415-35-3 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 236415-36-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl]phenylsulfonyl)amino]-1-(phenylmethyl)-1Hbenzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ O \\ CH_2-Ph \end{array}$$

●2 HCl

- RN 236415-40-0 HCAPLUS
- CN Glycine, N-[2-[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

- RN 236415-42-2 HCAPLUS
- CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl] (8-quinolinylsulfonyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N}-\text{CH}_2-\text{CH}_2\\ \text{N}\\ \text{S}\\ \text{O} \end{array} \begin{array}{c} \text{N}\\ \text{CH}_2-\text{CO}_2\text{H} \end{array}$$

- RN 236415-44-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[[3-(dimethylamino]propyl](phenylsulfonyl)amino]-1-(phenylmethyl)-1Hbenzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HCl

- RN 236415-45-5 HCAPLUS
- CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-Hh-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} - \text{S} = \text{O} \\ \text{Ph} - \text{S} = \text{O} \\ \text{Me}_2 \text{N} - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{N} \\ \text{N} \\ \text{CH}_2 - \text{C} - \text{NH} - \text{CH}_2 - \text{CO}_2 \text{H} \\ \end{array}$$

●2 HC1

- RN 236415-46-6 HCAPLUS
- CN Glycine, N-[2-[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]methyl]-1methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, 2-methylpropyl ester (CA INDEX NAME)

- RN 236415-49-9 HCAPLUS
- CN Benzenecarboximidamide, 4-[[5-[(5-isoquinoliny1sulfony1)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 236415-50-2 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-(phenylmethyl)-5-[(phenylsulfonyl)[2-(1-pyrrolidinyl)ethyl]amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 236415-51-3 HCAPLUS
 - CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[(phenylsulfonyl)[2-(1-pyrrolidinyl)ethyl]amino]-, ethyl ester,
 hydrochloride (1:2) (CA INDEX NAME)

● 2 HC1

- RN 236415-52-4 HCAPLUS
- CN Benzenecarboximidamide, 4-[(1-(phenylmethyl)-5-((phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-IH-benzimidazo1-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 236415-53-5 HCAPLUS
- CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HC1

- RN 236415-55-7 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(5-isoquinolinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

- RN 236415-58-0 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{Ph-S} = \text{O} \\ \text{Me}_2\text{N-} \text{(CH}_2\text{)}_3 - \text{N} \\ \text{N} \\ \text{(CH}_2\text{)}_3 - \text{CO}_2\text{H} \end{array}$$

● 2 HC1

- RN 236415-59-1 HCAPLUS
- CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-, hydrochloride (1:2) (CA INDEX NAME)

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●2 HC1

- RN 236415-60-4 HCAPLUS
- CN Benzoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-IH-benzimidazol-1-yl]methyl]-, methyl ester, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ N = CH_2 \\ \end{array}$$

●2 HC1

- RN 236415-64-8 HCAPLUS
- CN 1H-Benzimidazole-1-propanoic acid,
 2-[(4-(aminoiminomethyl)phenyl)methyl]-5-[(2(dimethylamino)ethyl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA
 INDEX NAME)

$$\begin{array}{c} \text{Ph} - \\ \text{S} = \\ \text{O} \\ \text{Me}_2 \\ \text{N} - \\ \text{CH}_2 - \\ \text{CH}_2 - \\ \text{CH}_2 - \\ \text{CH}_2 - \\ \text{CO}_2 \\ \text{H} \end{array}$$

●2 HCl

- RN 236415-65-9 HCAPLUS
- CN 1H-Benzimidazole-1-propanoic acid,
 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3(dimethylamino)propyl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA
 INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Ph-S} = \text{O} \\ \text{Me}_2 \text{N-} (\text{CH}_2)_3 - \text{N} \\ \text{CH}_2 - \text{CH}_2 - \text{CO}_2 \text{H} \end{array}$$

●2 HC1

- RN 236415-70-6 HCAPLUS
- CN Glycine, N-[2-[[4-[imino][[(2-methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 236415-74-0 HCAPLUS

CN Glycine, N-[2-[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]meth yl]-1-methyl-lH-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 236415-75-1 HCAPLUS
- CN Glycine, N-[2-[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenyl]meth yl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 236415-81-9 HCAPLUS
- CN Glycine, N-[2-[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]methyl]-1methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

- RN 236415-83-1 HCAPLUS
- CN Glycine, N-[2-[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]methyl]-1methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

- RN 236415-88-6 HCAPLUS
- CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino)ethyl] (phenylsulfonyl)amino]-lH-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-S=O} \\ \text{Et}_{2}\text{N-CH}_{2}\text{-CH}_{2}\text{-NH}_{2} \\ \text{CH}_{2}\text{-C-NH-CH}_{2}\text{-CO}_{2}\text{H} \end{array}$$

●2 HC1

- RN 236415-97-7 HCAPLUS
- CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[8-quinolinylsulfonyl)glycyl-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me O} \\ \text{HO}_2\text{C}-\text{CH}_2-\text{N}-\text{C}-\text{CH}_2 \\ \text{N} \\ \text{O} \\ \text{Me} \\ \end{array}$$

HC1

- RN 236415-98-8 HCAPLUS
- CN Glycine, N-[2-[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]meth yl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

- RN 236415-99-9 HCAPLUS
- CN Glycine, N-[2-[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenyl]meth yl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{NH} & \text{O} \\ \text{EtO-C-CH}_2\text{-NH-C-CH}_2 \\ \text{N} & \text{CH}_2 \\ \end{array}$$

- RN 236416-01-6 HCAPLUS
- CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[(1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-lH-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

● 2 HC1

- RN 236416-23-2 HCAPLUS
- CN Glycine, N-[2-[[4-[[(1,1-dinethylethoxy)carbonyl]amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

- RN 236416-36-7 HCAPLUS
- CN β-Alanine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidaou-15-yl]-N-(8-quinolinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

- RN 236416-46-9 HCAPLUS
- CN Benzenecarboximidamide, 4-[15-[2-(dimethylamino)ethyl] (phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ N \\ Me \end{array}$$

●2 HC1

- RN 237750-39-9 HCAPLUS
- CN Benzenecarboximidamide, 4-[1-methyl-5-[[2-(4-methyl-1-piperaziny1)-2-oxoethyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{O} \\ \text{Ph-S} = \text{O} \\ \text{N} \\ \text{C} \\ \text{C} \\ \text{CH}_2 \\ \text{N} \\ \text{Me} \\ \end{array}$$

●2 HC1

- RN 237750-41-3 HCAPLUS
- CN Butanoic acid, 3-amino-4-[[[2-[[4-(aminoiminomethy1)pheny1]methy1]-1-methy1-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]acetyl]amino]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

L9 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:276771 HCAPLUS DOCUMENT NUMBER: 122:68173

ORIGINAL REFERENCE NO.: 122:12811a,12814a

TITLE: silver halide color photographic material

INVENTOR(S): Iizuka, Hiroyuki

PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 45 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06110166 PRIORITY APPLN. INFO.:	A	19940422	JP 1992-256841 JP 1992-256841	19920925 < 19920925



AB A silver halide color photog. material showing improved storage stability before exposure comprises blue-, green-, and red-sensitive silver halide emulsion layers, wherein ≥ 1 of the blue-sensitive silver halide emulsion layers contains ≥ 1 coupler represented by the formula I (R1 = a nonmetallic atomic group necessary for forming a 5-membered unsatd. heterocyclic ring along with the -N=(C)NR2- residue; R2 = H, alkyl,

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alkenyl, alkynyl, an aromatic group, or heterocyclyl; R3 = alkyl, alkenyl, alkynyl, an aromatic group, alkoxy, aryloxy, heterocyclyloxy, or NR4R5; R4, R5 = H, alkyl, alkenyl, alkynyl, an aromatic group, or heterocyclyl; X = a group releasing upon reaction with an oxidized aromatic primary amine developer) and ≥1 noncolor-forming compound represented by the formula R6R7R8COH (R6 = alkyl, alkenyl, or aryl; R7, R8 = H, alkyl, alkenvl, or arvl).

144761-75-1

RL: TEM (Technical or engineered material use); USES (Uses)

(yellow photog. coupler)

RN 144761-75-1 HCAPLUS CN

Benzoic acid, 3-[[2-[4-ethoxy-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]-2-[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]acetyl]amino]-4methoxy-, dodecyl ester (CA INDEX NAME)

ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:508789 HCAPLUS DOCUMENT NUMBER: 121:108789

ORIGINAL REFERENCE NO.: 121:19651a,19654a

TITLE:

Preparation of substituted benzimidazole derivs. for use as pesticides

INVENTOR(S): Lunkenheimer, Winfried; Baasner, Bernd; Lieb, Folker; Boehm, Stefan; Marhold, Albrecht; Goergens, Ulrich; Stendel, Wilhelm; Dehne, Heinz Wilhelm; Santel, Hans Joachim

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 67 pp. CODEN: GWXXBX DOCUMENT TYPE: Patent

LANGUAGE: German FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4237557	A1	19940511	DE 1992-4237557	19921106 <
CA 2148612	A1	19940526	CA 1993-2148612	19931025 <

CA	2148612		C	20070515			
WO	9411349		A1	19940526	WO 1993-EP2946		19931025 <
	W: AU,	BR, BY	, CA, CZ	, HU, JP,	KR, KZ, NZ, RU, SK,	UA,	US
	RW: AT,	BE, CH	, DE, DK	, ES, FR,	GB, GR, IE, IT, LU,	MC,	NL, PT, SE
AU	9453377		A	19940608	AU 1994-53377		19931025 <
EP	667861		A1	19950823	EP 1993-923545		19931025 <
EP	667861		В1	20000719			
	R: AT,	BE, CH	, DE, DK	, ES, FR,	GB, IE, IT, LI, NL,	PT,	SE
HU	72091		A2	19960328	HU 1995-1292		19931025 <
JP	08506088		T	19960702	JP 1994-511643		19931025 <
BR	9307389		A	19990831	BR 1993-7389		19931025 <
AT	194834		T	20000815	AT 1993-923545		19931025 <
ES	2148242		T3	20001016	ES 1993-923545		19931025 <
US	5656649		A	19970812	US 1995-428087		19950525 <
US	5863933		A	19990126	US 1997-822565		19970319 <
PRIORIT	Y APPLN.	INFO.:			DE 1992-4237557	2	A 19921106
					WO 1993-EP2946	1	V 19931025
					US 1995-428087	1	A3 19950525
OTHER S	OURCE(S):		MARPAT	121:1087	89		

- A process for the preparation of benzimidazoles of the general formula I AΒ wherein R1 can be H, alkyl, alkoxy, or substituted aryl and R2 can be OH, CN, or alkyl, aryl, alkenyl, amino, alkoxycarbonyl, etc. and R3 is fluoroalkyl and X1, X2, X3 are independently H, halogen, cyano, nitro, or substituted alkyl, alkoxy, alkylsulfonyl, amino, aryl, etc. comprises the treatment of benzimidazole derivative of formula II (X1, X2, X3, X4, R3 as above) with compound of formula ACHR1R2 (R1, R2 as above) wherein A represents a specific leaving group. E.g.,
 - 5(6)-phenyl-2-trimethyl-1H-benzimidazole and KCO3 and EtOAc are refluxed for 15 min. whereupon chloromethyl Et ether in EtOAc is added and refluxed to give 1-ethoxymethyl-5(6)-phenyl-2-trifluoromethylbenzimidazole as a mixture of 1:1 regioisomers in 71%. Compds. of formula I are shown to be useful as pesticides against a variety of insect pests. 156493-71-9P 156493-72-0P
- ΙT RL: SPN (Synthetic preparation); PREP (Preparation)
 - (preparation of)
- RN 156493-71-9 HCAPLUS
- Benzenesulfonamide, 2-chloro-N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazo1-5-vl]- (CA INDEX NAME) CN

RN 156493-72-0 HCAPLUS

CN Benzenesulfonamide, N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1Hbenzimidazol-5-v1]-2-(trifluoromethyl)- (CA INDEX NAME)

ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:499774 HCAPLUS

DOCUMENT NUMBER: 121:99774

ORIGINAL REFERENCE NO.: 121:17707a,17710a

TITLE: Preparation of substituted benzimidazoles as

protozoacides. INVENTOR(S): Lunkenheimer, Winfried; Baasner, Bernd; Lieb, Folker;

Haberkorn, Axel PATENT ASSIGNEE(S):

Bayer A.-G., Germany SOURCE: Ger. Offen., 102 pp. CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4237617	A1	19940511	DE 1992-4237617	19921106 <
AU 9348731	A	19940519	AU 1993-48731	19930930 <
AU 670317	B2	19960711		
EP 597304	A1	19940518	EP 1993-117243	19931025 <
EP 597304	B1	20010110		
R: BE, CH, DE,	DK, ES	, FR, GB, GF	R, IT, LI, NL, SE	
ES 2154641	Т3	20010416	ES 1993-117243	19931025 <
US 5482956	A	19960109	US 1993-146634	19931029 <
JP 06219946	A	19940809	JP 1993-296008	19931102 <
GR 3035574	Т3	20010629	GR 2001-400421	20010314 <
PRIORITY APPLN. INFO.:			DE 1992-4237617 A	19921106
OTHER SOURCE(S):	MARPAT	121:99774		

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- AB The benzimidazoles I [X1-4=H,halo,CN,NO2,(un)substituted alkyl, alkoxy, etc.; R=fluoroalkyl;R=(un)substituted alkyl,dialkoxyphosphonyl, etc.] are prepared as protozoacides. 5(6)-Phenyl-2-trifluoromethyl-1H-benzimidazole (preparation given) was refluxed with chloromethyl Et ether, in
- KZCO3-containing Et acetate, to give 1-ethoxymethyl-5(6)-phenyl-2-trifluoromethyl-1Hbenzimidazole. I (not specified) was used for treatment of coccidiosis in chicken.
- IT 156493-70-BP 156493-71-9P 156493-72-DP RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of, as protozoacide)
- RN 156493-70-8 HCAPLUS
- CN Benzenesulfonamide, N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1Hbenzimidazol-5-yl]- (CA INDEX NAME)

- RN 156493-71-9 HCAPLUS
- CN Benzenesulfonamide, 2-chloro-N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1Hbenzimidazol-5-yl]- (CA INDEX NAME)

- RN 156493-72-0 HCAPLUS
- CN Benzenesulfonamide, N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazol-5-yl]-2-(trifluoromethyl)- (CA INDEX NAME)

=> d 19 ibib abs 11-21

L9 ANSWER 11 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:120558 HCAPLUS

DOCUMENT NUMBER: 120:120558 ORIGINAL REFERENCE NO.: 120:21057a,

ORIGINAL REFERENCE NO.: 120:21057a,21060a TITLE: Color photographi

TITLE: Color photographic material and its processing INVENTOR(S): Obavashi, Keiji

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkvo Koho, 80 pp.

SOURCE: Jpn. Kokai Tol CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04184435	A	19920701	JP 1990-314526	19901120 <
PRIORITY APPLN. INFO.:			JP 1990-314526	19901120

$$\begin{array}{c|c} & & & & \\ & & & \\ R1 & & & \\ & & & \\ N & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ \end{array}$$

AB The title photog. material contains a coupler I [R1 = nommetallic atoms required to complete a 5-membered unsatd. heterocycly1; R2 = H, alky1, alkeny1, alkyny1, aromatic group, heterocycly1; R3 = alky1, alkeny1, alkyny1, aromatic group, heterocycly1oxy, NRRB5; R4-5 = H, alky1, alkeny1, alkyny1, aromatic group, heterocycly1; X = group releasable on reaction with oxidized developer], and a compound which will release a bleaching assistant or its precursor on reaction with the oxidized developer. The photog. material is processed within 3.25 min. following color development. Improved graininess and sharpness are achieved.

L9 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1993:505749 HCAPLUS

ACCESSION NUMBER: 1993:505749 HCAPLUS DOCUMENT NUMBER: 119:105749

ORIGINAL REFERENCE NO.: 119:18835a,18838a

I

TITLE: Silver halide color photographic material having

improved graininess and light fastness

Obayashi, Keiji INVENTOR(S):

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 158 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
JP 04235550 PRIORITY APPLN. INFO.:	A	19920824	JP 1991-12686 JP 1991-12686	19910111 < 19910111		
GI						

- AR A Ag halide color photog. material having ≥1 photosensitive emulsion layer on a support comprises a coupler or a yellow-colored cyan coupler I [R1 = nonmetallic atomic group forming a 5-membered unsatd. heterocyclyl with II; R2 = H, alkyl, alkenyl, alkynyl, aromatic, heterocyclyl; R3 = alkyl, alkenyl, alkynyl, aromatic, alkoxy, aryloxy, heterocyclic oxv, NR4R5; R4.5 = H, alkyl, alkenyl, arom or heterocyclic alkynyl; X = moiety being released in reaction with aromatic primary amine developing agent].
- ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN
- ACCESSION NUMBER: 1993:417801 HCAPLUS DOCUMENT NUMBER: 119:17801
- ORIGINAL REFERENCE NO.: 119:3185a,3188a
- TITLE: Color photographic material with high photosensitivity and image density
- INVENTOR(S): Obayashi, Keiji
- PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
- Jpn. Kokai Tokkyo Koho, 88 pp. SOURCE:
- CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04184433	A	19920701	JP 1990-314522	19901120 <
PRIORITY APPLN. INFO.:			JP 1990-314522	19901120

GT For diagram(s), see printed CA Issue.

The title photog. material contains a coupler I [R1 = nonmetallic atoms required to complete a 5-membered unsatd. heterocycly1; R2 = H, alky1,

alkenyl, alkynyl, aromatic group, heterocyclyl; R3 = alkyl, alkenyl, alkynyl, aromatic group, alkoxy, aryloxy, heterocyclyloxy, NR4R5; R4-5 = H, alkyl, alkenyl, alkynyl, aromatic group, heterocyclyl; X = group releasable on reaction with oxidized developer], and an acylacetoanilide type coupler containing a group II [R1 = monovalent group; Q = nonmetallic atoms required to complete a 3- to 5-membered ring].

L9 ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:222730 HCAPLUS DOCUMENT NUMBER: 118:222730

ORIGINAL REFERENCE NO.: 118:38233a,38236a

TITLE: Silver halide color photographic material

INVENTOR(S):

Obayashi, Keiji; Saito, Naoki

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 60 pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent. LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 04133052 A 19920507 JP 1990-254727 19900925 <-PRIORITY APPLN. INFO.: JP 1990-254727 19900925

I

The title material which comprises a support having thereon one or more blue-sensitive silver halide emulsion layers, one or more green-sensitive silver halide emulsion layers, and one or more red-sensitive silver halide emulsion layers contains a yellow coupler represented by general structure I. For I, R1 = nonmetallic atoms for forming, together with N:CNR2, a 5-membered unsatd. heterocyclic ring; R2 = H, alkyl, alkenyl, alkynyl, etc.; R3 = alkyl, alkenyl, alkynyl, alkoxy, etc.; X = a group to be released upon reaction with an oxidized aromatic primary amine developing agent. The title material gives excellent color reproduction

L9 ANSWER 15 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:157655 HCAPLUS DOCUMENT NUMBER: 118:157655

ORIGINAL REFERENCE NO.: 118:26859a, 26862a

TITLE:

Novel vellow coupler containing silver halide color

photographic material
INVENTOR(S): Saito, Naoki; Obayashi, Keiji
PATENT ASSIGNEE(S): Fuji Shashin Film K. K., Japan
SOURCE: Top

SOURCE: Jpn. Kokai Tokkyo Koho, 57 pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04085537	A	19920318	JP 1990-201845	19900730 <
JP 2964011	B2	19991018		
US 5187056	A	19930216	US 1991-737274	19910729 <
PRIORITY APPLN. INFO.:			JP 1990-201845 A	19900730



The title color photog. material contains in ≥1 of its hydrophilic colloid layers (I) [RI = atoms required to complete an unsatd. heterocycle; R2 = H, aliphatic, aromatic, or heterocyclic ring; R3 = organic residue; X = group releasable on reacting with oxidized primary aromatic amine-type developer; A = acidic release group substitutable at random; n ≥ 1; when A is a substituent on X, X released on reaction with the oxidized developer does not react further with the oxidized developer. Color image sharpness and color reproducibility are improved, high sensitivity is achieved, and color image stability is also achieved.

ANSWER 16 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:136082 HCAPLUS DOCUMENT NUMBER:

118:136082

ORIGINAL REFERENCE NO.: 118:23285a,23288a Silver halide color photographic material containing

novel vellow coupler

Obavashi, Keiji

Fuji Photo Film Co., Ltd., Japan

Jpn. Kokai Tokkyo Koho, 90 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04172446	A	19920619	JP 1990-300304	19901106 <
PRIORITY APPLN. INFO.:			JP 1990-300304	19901106

GI

TITLE:

SOURCE:

INVENTOR(S):

AB A Ag halide color photog, material comprises a yellow coupler I [Rl = non-metallic atomic group forming 5-membered unsatd. heterocyclyl with N:C-NR2; R2 = H, alkyl, alkenyl, alkynyl, aromatic, heterocyclyl; R3 = alkyl, alkenyl, alkynyl, aromatic, heterocyclyloxy, NR45; R4,5 = H, alkyl, alkenyl, alkynyl, aromatic, heterocyclyl; X = moiety released upon reaction with oxidation product of aromatic primary amine developing agent] and a compound or its precursor capable of scavenging an oxidation product of a development agent.

9 ANSWER 17 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:136071 HCAPLUS DOCUMENT NUMBER: 118:136071

ORIGINAL REFERENCE NO.: 118:23281a,23284a

TITLE: Silver halide color photographic material

INVENTOR(S): Obayashi, Keiji
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Ja

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 81 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04163546 PRIORITY APPLN. INFO.:	A	19920609	JP 1990-291394 JP 1990-291394	19901029 < 19901029
CT				

AB The title material which comprises a support having thereon one or more photosensitive silver halide emulsion layers contains a coupler represented by I and a pyrazolotriazole coupler. For I, Rl = nonmetallic atoms which, together with N:CNR2, form a 5-membered unsatd. heterocyclic ring; R2 = H, alkyl, alkenyl, alkynyl, etc.; R3 = alkyl, alkenyl, alkynyl, alkoxy, etc.; X = a group to be released upon reaction with an oxidized aromatic primary amine developing agent. The use of the title material gives high-quality images.

L9 ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1993:136061 HCAPLUS

10572826

DOCUMENT NUMBER: 118:136061

ORIGINAL REFERENCE NO.: 118:23281a,23284a

TITLE:

Silver halide color photographic material with high sensitivity and excellent graniness

INVENTOR(S): Obayashi, Keiji; Saito, Naoki
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 64 pp.

DOCUMENT TYPE: LANGUAGE:

CODEN: JKXXAF Patent

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

Japanese

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 04121737 A 19920422 JP 1990-242217 19900912 <-PRIORITY APPLN. INFO:: JP 1990-242217 19900912

AB In a Ag halide color photog. material having ≥1 photosensitive emulsion layer on a support, the material is characterized in that the material contains a (yellow) coupler I [R1 = nonmetal atomic group forming 5-membered unsatd. heterocyclyl with N:C(NR2); R2 = H, alkyl, alkenyl, alkynyl, aromatic, alkoxy, aryl, oxy, heterocyclyloxy, NR4R5; R4,5 = H, alkyl, alkenyl, alkynyl, aromatic, heterocyclyl; X = moiety released during reaction with an oxidized product of aromatic primary amine developing agent] and the emulsion layer(s) contains sheet-structure AgBrI with a AgI content of 15-45 mol% and chemical-sensitized Ag halide grains with a AgI content ≥7 mol%.

L9 ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:90699 HCAPLUS DOCUMENT NUMBER: 118:90699 ORIGINAL REFERENCE NO.: 118:15727a,15730a

INTILE: Sliver halide color photographic material INVENTOR(S): Obayashi, Keiji; Saito, Naoki PaTENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 65 pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A 19920609 JP 1990-291395 19901029 <--JP 04163547

PRIORITY APPLN. INFO.: JP 1990-291395 19901029

AB In the title material comprising a support having thereon one or more Ag halide emulsion layers, at least 50% of the total projection area of Ag halide grains of the emulsion layers belongs to tabular grains with an average aspect ratio ≥2:1. At least one of the Ag halide emulsion layers in the title material contains a coupler represented by I (R1 = nonmetallic atoms which, together with the NCNR2 moiety, form a 5-membered unsatd. heterocyclic ring; R2 = H, alkyl, alkenyl, alkynyl, etc.; R3 = alkyl, alkenyl, alkoxy, etc.; X = a group to be released at the time of reaction with an oxidized aromatic primary amine developing agent). The title material gives excellent color reproduction

L9 ANSWER 20 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:90695 HCAPLUS
DOCUMENT NUMBER: 118:90695

DOCUMENT NUMBER: 118:90695 ORIGINAL REFERENCE NO.: 118:15727a,15730a

TITLE: Silver halide color photographic material

INVENTOR(S): Obavashi, Keiji

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 81 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

GI

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 04156540 A 19920529 JP 1990-282512 19901019 <-PRIORITY APPLN. INFO.: JP 1990-282512 19901019

RI CHXCOR3 TCONH XI I

AB The title material which comprises a support having thereon one or more photosensitive Ag halide emulsion layers contains a coupler represented by I (R1 = nonmetallic atoms which, together with N:CNR2, form a 5-membered unsatd. heterocyclic ring; R2 = H, alkyl, alkenyl, etc.; R3 = alkyl,

alkenyl, alkynyl, etc.; X = a group to be released at the time of reaction with an oxidized aromatic primary amine developing agent) and a coupler represented by II (T = an aliphatic group, an aromatic group, heterocyclyl; Ar

an aromatic group; X1 = H, a group to be released upon coupling reaction with an oxidized aromatic primary amine developing agent). The title material also contains a mercaptoheterocyclic compound, a benzimidazole derivative, and

а phenolic compound The title material gives high-quality images.

ANSWER 21 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:419030 HCAPLUS

DOCUMENT NUMBER: 77:19030

ORIGINAL REFERENCE NO.: 77:3193a,3196a TITLE:

Benzo[1,2-d:3,4-d']diimidazole derivatives. II. Behavior of 3,6-dimethyl- and

3,6,7-trimethylbenzo[1,2-d:3,4-d']diimidazole toward

nucleophilic agents

Koshienko, Yu. V.; Simonov, A. M.; Pozharskii, A. F. AUTHOR(S):

CORPORATE SOURCE: Rostov.-na-Donu Gos. Univ., Rostov-on-Don, USSR

SOURCE: Khimiva Geterotsiklicheskikh Soedinenii (1971

), 7(8), 1132-5

CODEN: KGSSAO: ISSN: 0132-6244 DOCUMENT TYPE: Journal

LANGUAGE:

Russian GI For diagram(s), see printed CA Issue.

MO-calcn. revealed that a lower electron d. at C-2 and C-7 of 3,6-dimethylbenzo[1,2-d:3,4-d']-diimidazole (I, R = R1 = H) facilitatednucleophilic substitution at these positions. I and KOH (300-10°) yield a mixture of 46% I (R1 = OH, R = H) and 35% I (R1 = R = OH). Analogously the corresponding 3,6,7-tri-Me derivative I (R = Me, R1 = OH) (II) was hydroxylated at C-2. The hydroxy derivs, reacted via the tautomeric oxo-forms. II was prepared from the benzimidazole deriv, (III, R1 = H) and urea (30 min at 160°). Amination of I or II did not occur with NaNH2. The 2-amino derivative I (R = H, R1 = NH2) was prepared from III (R1 =

NH2) using the cyclization with BrCN.

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http://www.cas.org/support/stngen/stndoc/properties.html

=> Upl:

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chain nodes :
10 11 12 13 15 17 18
ring nodes :
1 2 3 4 5 6 7 8 9 19 20 21 22 23 24
chain bonds :
3-10 5-15 6-17 10-11 11-12 11-13 11-23 17-18
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 19-20 19-24 20-21 21-22 22-23
23-24
exact/norm bonds :
3-10 5-6 5-9 5-15 6-7 8-9 10-11 11-12 11-13 11-23 17-18
exact bonds :
6-17
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8 19-20 19-24 20-21 21-22 22-23 23-24
isolated ring systems :
containing 1 :
```

G1:Ph,Cy,Hy

Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom 2:Atom 2:Atom 22:Atom 23:Atom 24:Atom

10572826.trn 05/26/2009 Page 205

L11 STRUCTURE UPLOADED

=> d 111 L11 HAS NO ANSWERS L11 STR

G1 Ph,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 111

SAMPLE SEARCH INITIATED 15:50:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 160 TO ITERATE

100.0% PROCESSED 160 ITERATIONS SEARCH TIME: 00.00.01

19 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2442 TO 39.

L12 19 SEA SSS SAM L11

=> s 111 sss full FULL SEARCH INITIATED 15:50:45 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 2632 TO ITERATE

100.0% PROCESSED 2632 ITERATIONS 243 ANSWERS

119 TO

SEARCH TIME: 00.00.01

PROJECTED ANSWERS:

L13 243 SEA SSS FUL L11

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chain bonds :
3-10 5-15 6-17 10-11 10-26 11-12 11-13 11-23 17-18
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 19-20 19-24 20-21 21-22 22-23
23-24
exact/norm bonds :
3-10 5-6 5-9 5-15 6-7 8-9 10-11 10-26 11-12 11-13 11-23 17-18
exact bonds :
6-17
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8 19-20 19-24 20-21 21-22 22-23 23-24
isolated ring systems :
containing 1 : 19 :
```

G1:Ph,Cy,Hy

chain nodes :

G2:Cb,Ak,H

Match level: 1:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 26:CLASS

L14 STRUCTURE UPLOADED

=> d 114

10572826.trn 05/26/2009 Page 207

10572826

L14 HAS NO ANSWERS L14 STR

G1 Ph,Cy,Hy G2 Cb,Ak,H

Structure attributes must be viewed using STN Express query preparation.

=> s 114

SAMPLE SEARCH INITIATED 15:53:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 160 TO ITERATE

100.0% PROCESSED 160 ITERATIONS SEARCH TIME: 00.00.01 19 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 2442 TO 3958 PROJECTED ANSWERS: 119 TO 641

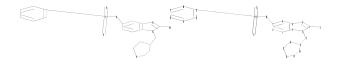
L15 19 SEA SSS SAM L14

=> s 114 sss full FULL SEARCH INITIATED 15:53:48 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 2632 TO ITERATE

100.0% PROCESSED 2632 ITERATIONS 243 ANSWERS SEARCH TIME: 00.00.01

L16 243 SEA SSS FUL L14

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ring nodes:
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chain bonds:
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chain bonds:
3-10 5-15 6-24 10-11 11-12 11-13 11-21 24-25
ring bonds:
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 17-18 17-22 18-19 19-20 20-21
21-22 25-26 25-30 26-27 27-28 28-29 29-30
exact/norm bonds:
3-10 5-6 5-9 5-15 6-7 6-24 8-9 10-11 11-12 11-13 11-21
exact bonds:
24-25 25-26 25-30 26-27 27-28 28-29 29-30
normalized bonds:
1-2 1-7 2-3 3-4 4-8 7-8 17-18 17-22 18-19 19-20 20-21 21-22
isolated ring systems:
containing 1: 17: 25:

G1:Ph,Cy,Hy

chain nodes :

G2:Cb, Ak, H

Match level :

 1:Atom
 2:Atom
 3:Atom
 4:Atom
 5:Atom
 6:Atom
 7:Atom
 8:Atom
 9:Atom
 10:CLASS

 1:CLASS
 13:CLASS
 15:CLASS
 17:Atom
 18:Atom
 19:Atom
 20:Atom
 21:Atom

 22:Atom
 24:CLASS
 25:CLASS
 26:Atom
 27:Atom
 28:Atom
 29:Atom
 30:Atom

L17 STRUCTURE UPLOADED

=> d 117 L17 HAS NO ANSWERS L17 STR

G1 Ph, Cy, Hy G2 Cb.Ak.H

Structure attributes must be viewed using STN Express query preparation.

=> s 117

SAMPLE SEARCH INITIATED 15:57:36 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -120 TO ITERATE

120 ITERATIONS 100.0% PROCESSED

19 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE** PROJECTED ITERATIONS: 1743 TO 3057 PROJECTED ANSWERS: 119 TO 641

L18 19 SEA SSS SAM L17

=> s 117 sss full

FULL SEARCH INITIATED 15:57:43 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -2199 TO ITERATE

100.0% PROCESSED 2199 ITERATIONS SEARCH TIME: 00.00.01

225 ANSWERS

TOTAL

L19 225 SEA SSS FUL L17

=> FIL HCAPLUS

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ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -18.04

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L3
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L4
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L5
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L6
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1.8
             10 S L6
L9
             21 S L7 AND PY<=2003
L10
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L11
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L12
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L13
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L14
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            19 S L14
L15
L16
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T.18
            19 S L17
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=> s 113

L20 8 L13

=> s 119 L21

7 L19

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=> d 122 ibib abs hitstr tot

L22 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER:

2001:224232 HCAPLUS DOCUMENT NUMBER: 134:266307

TITLE: Preparation of

2-arylethyl-5-arylsulfonamidobenzimidazoles as tryptase inhibitors.

Anderskewitz, Ralf; Braun, Christine; Briem, Hans;

Disse, Bernd; Hoenke, Christoph; Jennewein, Hans

Michael; Speck, Georg

Boehringer Ingelheim Pharma K.-G., Germany PATENT ASSIGNEE(S):

SOURCE: Ger. Offen., 36 pp. CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

PA:	TENT	NO.			KIN	D	DATE			APE	PLI	CAT	ION I	.00		D.	ATE		
	1994	5787					2001						1994				9990		
	2379						2001			CA	20	000-	2379.	557		2	0000	921	<
CA	2379	557			С		2008	0916											
WO	2001	0233	60		A1		2001	0405		WO	20	000-1	EP92:	37		2	0000	921	<
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US	6365				В1		2002	0402		US	20	000-	6667	65		2	0000	921	<
EP	1220	844			A1		2002	0710		EP	2.0	000-	9606	86		2	0000	921	<
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AT	2368	87			Т		2003	0415		AT	20	000-	9606	86		2	0000	921	<
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MX	2002	0026	22		A		2002	1024		MX	20	002-	2622			2	0020	301	<

PRIORITY APPLN. INFO.:

DE 1999-19945787 A 19990924 US 1999-157278P P 19991001

W 20000921

WO 2000-EP9237

OTHER SOURCE(S): MARPAT 134:266307

GI

$$\mathbb{R}^{3} \mathbf{502_{R4}^{N}} \stackrel{\mathbb{R}^{1}}{\overset{\mathbb{N}}{\longrightarrow}} \mathbb{R}^{2} \quad \mathbb{I}$$

AB Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylakyl, phenylalkyl, heterocyclyl, heterocyclylalkyl; R2 = C(:NB)NH2, CH2MH2; R3 = Ph. PhCH2, naphthyl, turyl, benzothienyl; R4 = H, (substituted) alkyl, heterocyclyl,

heterocyclylalkyl, etc.], were prepared Thus, N-[3-amino-4-(3,5-bistifluoromethylbenzylamino)phenyl]benzenesulfonamide (preparation given), p-cyanophenylpropionic acid, and POCL3 were heated

together for 2 h at 100-120° to give 71.5% N-[2-[2-(4-cyanophenyl)ethyl]-1-(3,5-bistrifluoromethylbenzyl)benzimidazol-

5-yl]benzenesulfonamide. This was stirred with HCl in EtOH at 0-5° and the residue after distillation of EtOH was treated with NH3 in EtOH to give 70.3% N-[2-]2-(4-amidinophenyl)ethyl]-1-(3,5-

bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. I

inhibited tryptase with IC50 = 0.0066-0.412 μM.

IT 331766-41-7P 331766-46-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of arylethylarylsulfonamidobenzimidazoles as tryptase

(preparation of arylethylarylsulfonamidobenzimidazoles as tryptase inhibitors)

RN 331766-41-7 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-

(diethylamino)ethyl](phenylsulfonyl)amino]-1-[(tetrahydro-2-furanyl)methyl]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-S=O} \\ \text{Et}_2\text{N-CH}_2\text{-CH}_2-\text{N} \\ \text{N-CH}_2\text{-CH}_2 \end{array}$$

RN 331766-46-2 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino]ethyl](phenylsulfonyl)amino]-1-[(tetrahydro-2furanyl)methyl]-IH-benzimidazol-2-yl]ethyl]-M-hydroxy- (CA INDEX NAME)

=> d 120 ibib abs tot

L20 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295544 HCAPLUS

DOCUMENT NUMBER: 144:350681

TITLE: Benzimidazole derivatives, and their pharmaceutical

compositions, preparation and their cannabinoid receptor binding affinity and use in therapy, such as

pain management

INVENTOR(S): Liu, Ziping; Page, Daniel; Tremblay, Maxime; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

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		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
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WO	2005	0307	61		A1		2005	0407		WO 2	004-	GB41	12		2	0040	924
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     WO 2005030733
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                                                                          20040924
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              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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              SN. TD. TG
     EP 1797075
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                                               EP 2005-784659
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              IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
     CN 101027291
                                  20070829
                                              CN 2005-80032329
                            A
     JP 2008514591
                            Т
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                                                JP 2007-533431
                                                                          20050922
                                                IN 2007-DN1630
     IN 2007DN01630
                           A
                                   20070803
                                                                          20070228
                                                                     A 20040924
PRIORITY APPLN. INFO.:
                                                WO 2004-GB4112
                                                WO 2004-GB4126
                                                                      A 20040924
                                                                     A 20050228
                                                SE 2005-453
                                                SE 2003-2570
                                                                     A 20030926
                                                SE 2003-2571
                                                                     A 20030926
                                                WO 2005-SE1401 W 20050922
OTHER SOURCE(S):
                         MARPAT 144:350681
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AB Compds. of formula I, or pharmaceutically acceptable salts and compns., the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I wherein Rl is Cl-6 alkyl or C3-6 cycloalkyl; R2 is H or Me; R3, R4, and R5 are independently F or Me; and their pharmaceutically acceptable salts, disstereoisomers, enantiomers, or mixts. thereof, and methods for their preparation are claimed in this invention. Example compound II was prepared by amidation of 4-fluoro-3-nitrophenyl) acetamide, which was reacted with 4-aminomethyltetrahydropyran to give N-(3-nitro-4-[(tetrahydro-2H-pyran-4-ylmethyl)amino]phenyl)acetamide, which was reduced; the resulting N-(3-amino-4-[(tetrahydro-2H-pyran-4-ylmethyl)amino]phenyl-acetamide, which was reduced; the resulting N-(3-amino-4-[(tetrahydro-2H-pyran-4-ylmethyl)amino]phenyl-acetamide,

which was reduced; the resulting N-{3-amino-4-[(tetrahydro-2H-pyran-4-ylmethyl)amino]phenyl]acetamide underwent cyclization with trimethylacetyl chloride to give N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1Hb

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

benzimidazol-5-yllacetamide, which was deacetylated to give the benzimidazol-5-amine derivative, which was sulfonylated with 4-nitrobenzenesulfonyl chloride to give N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-4-nitrobenzenesulfonamide, which was reduced to N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-4-aminobenzenesulfonamide, which reacted with trimethylacetyl chloride to give compound II. All the invention compound were evaluated for their human CBl and CBZ receptor binding affinity. From the hCBl and hCBZ receptor binding affinity. From the hCBl and hCBZ receptor binding assay, the Ki towards human CBl receptors for certain invention compds. are in the range of between 2.8 nM and 1846 nM. ECOS for these compds. was found to be in the range of between 1.8 nM and 682 nM. Emax for these compound were determined to be in the range of between 784 and 15/8

compds. was found to be in the range of between 1.8 nM and 682 nM. Emax for these compound were determined to be in the range of between 78% and 157%. REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295541 HCAPLUS

DOCUMENT NUMBER: 144:350678

TITLE: Preparation of benzimidazole derivatives for treatment of pain

INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Walpole,

Christopher; Yang, Hua
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

PATENT ASSIGNEE(S): ASTRACENCE AB, SWED.

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PATENT NO.					KIND		DATE		APPLICATION NO.									
WO	2006033628				A1		20060330		WO 2005-SE1400					20050922				
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WO	WO 2005030761				A1 200504			0407	WO 2004-GB4112						20040924			
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PRIORITY APPLN. INFO .:
                                           WO 2004-GB4112
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                                                               P 20041230
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                                                               A 20030926
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                                                              A 20030926
W 20050922
                                            WO 2005-SE1400
OTHER SOURCE(S):
                       MARPAT 144:350678
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$$\begin{array}{c} \bigcap \\ \operatorname{R1R2N-C} \\ \longrightarrow \\ \operatorname{SO_2-N} \\ \longrightarrow \\ \operatorname{N} \\ \operatorname{R5} \\ \longrightarrow \\ \operatorname{R} \\ \longrightarrow \\ \longrightarrow \\ \operatorname{R} \\ \longrightarrow \\ \operatorname{R}$$

AB Benzimidazoles I (G = O, Cf2; R1, R2 = H, OH, alkyl, alkoxy, hydroxyalkyl; R3,R4, R5 = F, Me) and their pharmaceutically acceptable salts are prepared They are useful in therapy, in particular in the management of pain. Thus, reaction of 4-(1[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl](methyl)amino|sulfonyl}benzolc acid with ethanolamine in DMF in the presence of diisopropylethylamine at room temperature for 3 h gas 4-{[[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl](methyl)amino|sulfonyl}-N-(2-hydroxyethyl)benzamide as trifluoroacetate salt.

Ι

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295540 HCAPLUS

DOCUMENT NUMBER: 144:350677

TITLE: Preparation of benzimidazole derivatives as

cannabinoid receptor ligands

INVENTOR(S): Liu, Ziping; Page, Daniel; Tremblay, Maxime; Walpole,

PATENT ASSIGNEE(S): Christopher; Yang, Hua AstraZeneca AB, Swed. SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12 PATENT INFORMATION:

PAI	ENT 1				KIN		DATE			APPL						ATE	
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OTHER SOURCE(S): MARPAT 144:350677

AB Benzimidazoles I (R1, R2 = H, alkyl, alkoxy, hydroxyalkyl; R3, R4, R5 = F, Me) and their pharmaceutically acceptable salts are prepared compose, are prepared They are useful in therapy, in particular in the management of pain. Thus, reaction of 2-tert-butyl-N-methyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-amine with 4-ureidobenzenesulfonyl chloride in DMF in the presence of p-dimethylaminopyridine at room temperature for 4 h gave 39% 4-[(aminocarbonyl)amino]-N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-N-methylbenzenesulfonamide as trifluoroacetate salt.

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REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295538 HCAPLUS

DOCUMENT NUMBER: 144:350675

TITLE: Benzimidazole derivatives, and their pharmaceutical compositions, preparation and their cannabinoid receptor binding affinity and use in therapy, such as

pain management
INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Milburn,

Claire; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 52 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12 PATENT INFORMATION:

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GI

AB Compds. of formula I, or pharmaceutically acceptable salts and compns., the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I where in G is O and CF2; R1 and R2 are independently H, C1-4 alkyl, HO-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, or C1-4 alkoxy; R1R2 together with the N to which they are bound may form a C3-6 heterocycle; R3, R4, and R5 are independently F or Me; and their pharmaceutically acceptable salts, diastereoisomers, enantiomers, or mixts, thereof, and methods for preparation are claimed in this invention. Example compound II was prepared by amidation of 4-fluoro-3-nitroaniline with acetic anhydride followed by to give N-(4-fluoro-3-nitrophenyl)-N-methyl-acetamide, which underwent amination with (4,4-difluorocyclohexylmethyl)amine TFA salt to give N-(4-{[(4,4-difluorocyclohexyl)methyl]amino}-3-nitrophenyl)-N-methylacetamide, which was reduced at the nitro group to give the corresponding amine, which cyclized with trimethylacetyl chloride; the resulting N-{2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]-1H-benzimidazol-5-yl}-Nmethyl-acetamide was deacetylated to give N-{2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]}-N-methyl-1Hbenzimidazol-5-amine, which underwent sulfonylation with 4-formylbenzenesulfonyl chloride to give the corresponding 4-formylphenylsulfonamide, which underwent reductive amination with 2-aminoethanol to give compound II. All the invention compound were evaluated for their human CB1 and CB2 receptor binding affinity. From the hCB1 and hCB2 receptor binding assay, the Ki towards human CB1 receptors for certain invention compds. are in the range of between 9 nM and 1175 nM. EC50 for these compds. was found to be in the range of between 12 nM and

II

49 nM. Emax for these compound were determined to be in the range of between

109% and 143%.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300439 HCAPLUS

142:373834 DOCUMENT NUMBER:

Preparation of benzimidazoles as cannabinoid receptor TITLE: modulators for use in the management of pain

INVENTOR(S): Liu, Ziping; Milburn, Claire; Page, Daniel; Walpole,

Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Ltd. PCT Int. Appl., 104 pp.

SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 12

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			WO	2004-GB4112	Α	20040924
			WO	2004-GB4132	W	20040924
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			WO	2005-SE1400	W	20050922
OTHER SOURCE(S) .	CASRE	ACT 142.3738	34 - 1	WARPAT 142.373834		

GΙ

AΒ The title compds. I [R1 = alkyl, alkenyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; Ar = (un) substituted aryl, heteroaryl], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from 4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 1.7-5000 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.5-22.2 nM. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:300438 HCAPLUS

DOCUMENT NUMBER: 142:373833

TITLE: Preparation of benzimidazoles as cannabinoid receptor modulators for use in the management of pain

INVENTOR(S): Liu, Ziping; Milburn, Claire; Page, Daniel; Tremblay,

Maxime; Walpole, Christopher; Yang, Hua Astrazeneca AB, Swed.; Astrazeneca UK Ltd. PATENT ASSIGNEE (S):

SOURCE: PCT Int. Appl., 254 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 12 PATENT INFORMATION:

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US 20070072853	A1	20070329	US	2006-572826		20061016
IN 2007DN01629	A	20070803	IN	2007-DN1629		20070228
IN 2007DN01630	A	20070803	IN	2007-DN1630		20070228
IN 2007DN01631	A	20070803	IN	2007-DN1631		20070228
IN 2007DN01720	A	20070824	IN	2007-DN1720		20070305
MX 2007003121	A	20070718	MX	2007-3121		20070315
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NO 2007002090	A	20070625	NO	2007-2090		20070423
PRIORITY APPLN. INFO.:			SE	2003-2570	A	20030926
			WO	2004-GB4112	W	20040924
			WO	2004-GB4116	Α	20040924
			WO	2004-GB4124	A	20040924
			WO	2004-GB4126	A	20040924
			WO	2004-GB4132	Α	20040924
			US	2004-640309P	P	20041230
			US	2004-640498P	P	20041230
			SE	2005-183	A	20050124
			SE	2005-267	A	20050203
			SE	2005-453	A	20050228
			WO	2005-SE1399	W	20050922
			WO	2005-SE1400	W	20050922
			WO	2005-SE1401	W	20050922
			WO		W	20050922
				2005-SE1405	W	20050922
OTHER SOURCE(S): GI	CASRE	ACT 142:3738	33; 1	MARPAT 142:373833		

AB The title compds. I [R1 = alkyl, alkenyl, aryl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; Ar = aryl, heteroaryl; n = 0-3; R3 = H, NO2, halo, etc.; R4 = H, alkyl, cycloalkyl, etc.], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from 4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 0.7-7170 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.3-5800 nM. The pharmaceutical

composition comprising the compound I is disclosed.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300416 HCAPLUS

DOCUMENT NUMBER: 142:373832

TITLE: Preparation of benzimidazoles as cannabinoid receptor modulators for use in the management of pain

INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Ltd.

SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PAT	TENT I	. OP			KIN		DATE									ATE	
WO	2005	0307	33		A1		2005	0407		WO 2	004-	GB41:	26		2	0040	924
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             KG, KZ, MD, RU, TJ, TM
                         A1
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     EP 1797075
                         A1
                               20070620 EP 2005-784659
                                                                   20050922
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                                           CN 2005-80032329
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                         A1
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PRIORITY APPLN. INFO .:
                                            SE 2003-2571
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                                            WO 2005-SE1401
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                                                                W 20050922
OTHER SOURCE(S):
                       CASREACT 142:373832; MARPAT 142:373832
GI
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AB The title compds. I [R1 = alkyl, alkenyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; R3 = H, alkyl, acyl, etc.; R4 = H, alkyl, cycloalkyl, etc.], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from

Ι

4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 0.72-7170 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.36-24.7 nM. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:224232 HCAPLUS

DOCUMENT NUMBER: 134:266307

TITLE:

Preparation of

2-arylethyl-5-arylsulfonamidobenzimidazoles as tryptase inhibitors.

INVENTOR(S):

Anderskewitz, Ralf; Braun, Christine; Briem, Hans; Disse, Bernd; Hoenke, Christoph; Jennewein, Hans Michael; Speck, Georg

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K .- G., Germany

SOURCE: Ger. Offen., 36 pp. CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.					D	DATE			API	PLICA	TI	ON I	10.		D	ATE	
DE	1994	5787			A1		2001	0329		DE	1999	9-1	994	5787		1	9990	924
CA	2379	557			A1		2001	0405		CA	2000)-2	3795	557		2	0000	921
CA	2379	557			С		2008	0916										
WO	2001	0233	60		A1		2001	0405		WO	2000)-E	P92:	37		2	0000	921
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	1220						2002	0710		EΡ	2000)-9	6061	36		2	0000	921
EP	1220	844			B1		2003	0409										
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JP	2003	5103	10		T		2003	0318		JP	2001	L-5:	265:	14		2	0000	921
AT	2368	87			T		2003			ΑT	2000)-9	606	36		2	0000	
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MX	2002	0026	22		A		2002	1024		MX	2002	2-2	622			2	0020	301
PRIORIT:	Y APP	LN.	INFO	. :							1999						9990	
											1999						9991	
										WO	2000)-E	P92:	37		W 2	0000	921
OTHER S	DURCE	(S):			MAR	PAT	134:	26630	07									

$$\begin{array}{c|c} & R^1 \\ R^3 \text{SO}_{R^4} & N \end{array}$$

AB Title compds. [I, RI = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylakyl, phenylalkyl, heterocyclyl, heterocyclylalkyl; R2 = C(:NH)NH2, CH2NH2; R3 = Ph, PhCH2, naphthyl, furyl, benzofuryl, thienyl, benzothienyl; R4 = H, (substituted) alkyl, heterocyclylalkyl, etc.], were prepared Thus, N-[3-amino-4-(3,5-bistifluoromethylbenzylamino)phenyllbenzenesulfonamide (preparation given), p-cyanophenylpropionic acid, and POCI3 were heated together for 2 h at 100-120° to give 71.5% N-[2-[2-(4-cyanophenyl)-1-(3,5-bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. This was stirred with HCl in EtcH at 0-5° and the residue after distillation of EtcH was treated with NH3 in EtcH to give 70.3% N-[2-[2-(4-amidinophenyl)ethyl]-1-(3,5-bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. I inhibited tryptase with ICSO = 0.0066-0.412 µM.

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L21 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295544 HCAPLUS

DOCUMENT NUMBER: 144:350681

TITLE: Benzimidazole derivatives, and their pharmaceutical compositions, preparation and their cannabinoid

receptor binding affinity and use in therapy, such as

INVENTOR(S): Liu, Ziping; Page, Daniel; Tremblay, Maxime; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PAT	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
WO	2006	0336	29		A1		2006	0330		WO 2	005-	SE14	01		2	0050	922
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
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     WO 2005030761
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PRIORITY APPLN. INFO.:
                                               WO 2004-GB4112
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                                               WO 2005-SE1401 W 20050922
OTHER SOURCE(S): MARPAT 144:350681
GΙ
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I, or pharmaceutically acceptable salts and compns., the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I wherein Rl is Cl-6 alkyl or C3-6 cycloalkyl; R2 is H or Me; R3, R4, and R5 are independently F or Me; and their pharmaceutically acceptable salts, diastereoisomers, enantiomers, or mixts. thereof, and methods for their preparation are claimed in this invention. Example compound II was prepared by amidation of 4-fluoro-3-nitroaniline with acetic anhydride to give N-(4-fluoro-3-nitrophenyl)acetamide, which was reacted with

4-aminomethyltetrahydropyran to give N-{3-nitro-4-[(tetrahydro-2H-pyran-4-vlmethyl)amino]phenyl}acetamide, which was reduced; the resulting N-{3-amino-4-|(tetrahydro-2H-pyran-4ylmethyl)amino]phenyl}acetamide underwent cyclization with trimethylacetyl chloride to give N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1Hbenzimidazol-5-yllacetamide, which was deacetylated to give the benzimidazol-5-amine derivative, which was sulfonvlated with 4-nitrobenzenesulfonvl chloride to give N-(2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-4nitrobenzenesulfonamide, which was reduced to N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-4aminobenzenesulfonamide, which reacted with trimethylacetyl chloride to give compound II. All the invention compound were evaluated for their human CB1 and CB2 receptor binding affinity. From the hCB1 and hCB2 receptor

binding assay, the Ki towards human CB1 receptors for certain invention compds. are in the range of between 2.8 nM and 1846 nM. EC50 for these compds. was found to be in the range of between 1.8 nM and 682 nM. Emax for these compound were determined to be in the range of between 78% and 157%. THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 4 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295541 HCAPLUS

DOCUMENT NUMBER: 144:350678

TITLE: Preparation of benzimidazole derivatives for treatment of pain

INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Walpole,

Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed. SOURCE: PCT Int. Appl., 44 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

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PRIORITY APPLN. INFO .:
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                                                                A 20040924
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                                            WO 2005-SE1400
                                                               W 20050922
OTHER SOURCE(S):
                        MARPAT 144:350678
GI
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AB Benzimidazoles I (G = 0, Cf2; R1, R2 = H, OH, alkyl, alkoxy, hydroxyalkyl; R3, R4, R5 = F, Me) and their pharmaceutically acceptable salts are prepared They are useful in therapy, in particular in the management of pain. Thus, reaction of 4-{[[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-

Ι

benzimidazol-5-v1|(methyl)amino|sulfonyl}benzoic acid with ethanolamine in DMF in the presence of diisopropylethylamine at room temperature for 3 h gave 62% 4-{[[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5yl] (methyl) amino] sulfonyl}-N-(2-hydroxyethyl) benzamide as trifluoroacetate salt.

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295540 HCAPLUS

DOCUMENT NUMBER: 144:350677

TITLE: Preparation of benzimidazole derivatives as

cannabinoid receptor ligands

INVENTOR(S): Liu, Ziping; Page, Daniel; Tremblay, Maxime; Walpole,

Christopher; Yang, Hua PATENT ASSIGNEE(S): AstraZeneca AB, Swed. PCT Int. Appl., 45 pp.

SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

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PRIORITY APPLN. INFO.:
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                                           WO 2004-GB4116
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                                           WO 2005-SE1404
                                                              W 20050922
                       MARPAT 144:350677
OTHER SOURCE(S):
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GI

AB Benzimidazoles I (RI, R2 = H, alkyl, alkoxy, hydroxyalkyl; R3, R4, R5 = F, Me) and their pharmaceutically acceptable salts are prepared compass, are prepared to make the salt of prepared to the salt of pain. Thus, reaction of 2-tert-butyl-N-methyl-1-(tertahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-amine with 4-ureiodoenzenesulfonyl chloride in DNF in the presence of p-dimethylaminopyridine at room temperature for 4 h gave 33% 4-([aminocarbonyl)amino]-N-[2-tert-butyl-1-(tertahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-N-methylbenzenesulfonamide as trifluoroacetate salt.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2006:295538 HCAPLUS

DOCUMENT NUMBER: 144:350675

TITLE: Benzimidazole derivatives, and their pharmaceutical compositions, preparation and their cannabinoid

receptor binding affinity and use in therapy, such as

pain management

INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Milburn,

Claire; Walpole, Christopher; Yang, Hua

AstraZeneca AB, Swed. PATENT ASSIGNEE(S): PCT Int. Appl., 52 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

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JP 2008	514589		T		2008	0508		JP 2	007-	5334	29		2	0050	922
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OTHER SOURCE	(8) .		MAD	рат	144:	3506	75	WO Z	005-	2513	フフ		vı Z	0050	722
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AB Compds. of formula I, or pharmaceutically acceptable salts and compns., the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I where in G is O and CF2; R1 and R2 are independently H, C1-4 alkyl, HO-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, or C1-4 alkoxy; R1R2 together with the N to which they are bound may form a C3-6 heterocycle; R3, R4, and R5 are independently F or Me; and their pharmaceutically acceptable salts, diastereoisomers, enantiomers, or mixts, thereof, and methods for preparation are claimed in this invention. Example compound II was prepared by amidation of 4-fluoro-3-nitroaniline with acetic anhydride followed by to give N-(4-fluoro-3-nitrophenyl)-N-methyl-acetamide, which underwent amination with (4,4-difluorocyclohexylmethyl)amine TFA salt to give N-(4-{[(4,4-difluorocyclohexyl)methyl]amino}-3-nitrophenyl)-N-methylacetamide, which was reduced at the nitro group to give the corresponding amine, which cyclized with trimethylacetyl chloride; the resulting N-{2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]-1H-benzimidazol-5-yl}-Nmethyl-acetamide was deacetylated to give N-{2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]}-N-methyl-1Hbenzimidazol-5-amine, which underwent sulfonylation with 4-formylbenzenesulfonyl chloride to give the corresponding 4-formylphenylsulfonamide, which underwent reductive amination with 2-aminoethanol to give compound II. All the invention compound were evaluated for their human CB1 and CB2 receptor binding affinity. From the hCB1 and hCB2 receptor binding assay, the Ki towards human CB1 receptors for certain invention compds. are in the range of between 9 nM and 1175 nM. EC50 for these compds. was found to be in the range of between 12 nM and

II

49 nM. Emax for these compound were determined to be in the range of between

109% and 143%.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300439 HCAPLUS

DOCUMENT NUMBER: 2003:300439 HCAFEO

TITLE: Preparation of benzimidazoles as cannabinoid receptor modulators for use in the management of pain

INVENTOR(S): Liu, Ziping; Milburn, Claire; Page, Daniel; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Ltd.

SOURCE: ASTRALENE ASSIGNEE (S): ASTRALENE AB, SWECK: PCT Int. Appl., 104 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12 PATENT INFORMATION:

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AΤ	40555	59			T 20080915				AT 2004-768675						20040924				
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WO	20060	0336	28							WO 2	005-		20050922						
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CN 101023075	A	20070822	CN	2005-80031827		20050922
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US 20080221178	A1	20080911	US	2008-572825		20080311
PRIORITY APPLN. INFO.:			SE	2003-2572	Α	20030926
			WO	2004-GB4112	A	20040924
			WO	2004-GB4132	W	20040924
			US	2004-640498P	P	20041230
			WO	2005-SE1400	W	20050922
OTHER SOURCE(S) .	CASRE	ACT 142.3738	34 - 1	WARPAT 142.373834		

GΙ

AΒ The title compds. I [R1 = alkyl, alkenyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; Ar = (un) substituted aryl, heteroaryl], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from 4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 1.7-5000 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.5-22.2 nM. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:300438 HCAPLUS

DOCUMENT NUMBER: 142:373833

TITLE: Preparation of benzimidazoles as cannabinoid receptor modulators for use in the management of pain

INVENTOR(S): Liu, Ziping; Milburn, Claire; Page, Daniel; Tremblay,

Maxime; Walpole, Christopher; Yang, Hua Astrazeneca AB, Swed.; Astrazeneca UK Ltd. PATENT ASSIGNEE (S):

SOURCE: PCT Int. Appl., 254 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 12 PATENT INFORMATION:

WO 2			61		2.1													
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OTHER SOURCE(S): GI	CASRE	ACT 142:3738	33; 1	MARPAT 142:373833		

AB The title compds. I [R1 = alkyl, alkenyl, aryl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; Ar = aryl, heteroaryl; n = 0-3; R3 = H, NO2, halo, etc.; R4 = H, alkyl, cycloalkyl, etc.], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from 4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 0.7-7170 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.3-5800 nM. The pharmaceutical

composition comprising the compound I is disclosed.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300416 HCAPLUS

DOCUMENT NUMBER: 142:373832

Preparation of benzimidazoles as cannabinoid receptor TITLE: modulators for use in the management of pain

INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Ltd.

SOURCE: PCT Int. Appl., 178 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

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             YU, ZA, ZM, ZW
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             CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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                                                                W 20050922
OTHER SOURCE(S):
                       CASREACT 142:373832; MARPAT 142:373832
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AB The title compds. I [R1 = alkyl, alkenyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; R3 = H, alkyl, acyl, etc.; R4 = H, alkyl, cycloalkyl, etc.], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from

Ι

4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 0.72-7170 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.36-24.7 nM. The pharmaceutical composition comprising the compound I is disclosed. REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT => d his (FILE 'HOME' ENTERED AT 15:29:23 ON 26 MAY 2009) FILE 'REGISTRY' ENTERED AT 15:29:38 ON 26 MAY 2009 STRUCTURE UPLOADED L2 50 S L1 1329 S L1 SSS FULL L4STRUCTURE UPLOADED L5 34 S L4 L6 481 S L4 SSS FULL FILE 'HCAPLUS' ENTERED AT 15:35:13 ON 26 MAY 2009 L7 39 S L3 1.8 10 S L6 1.9 21 S L7 AND PY<=2003 L10 1 S L8 AND PY<=2003 FILE 'REGISTRY' ENTERED AT 15:49:33 ON 26 MAY 2009 L11 STRUCTURE UPLOADED L12 19 S L11 L13 243 S L11 SSS FULL L14 STRUCTURE UPLOADED L15 19 S L14 L16 243 S L14 SSS FULL L17 STRUCTURE UPLOADED L18 19 S L17 L19 225 S L17 SSS FULL FILE 'HCAPLUS' ENTERED AT 15:57:54 ON 26 MAY 2009 L20 8 S L13 L21 7 S L19 L22 1 S L20 AND PY<=2003 0 S L21 AND PY<=2003 => d 121 ibib abs hitstr 1-2 L21 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2006:295544 HCAPLUS DOCUMENT NUMBER: 144:350681 TITLE: Benzimidazole derivatives, and their pharmaceutical compositions, preparation and their cannabinoid receptor binding affinity and use in therapy, such as pain management

Christopher; Yang, Hua

AstraZeneca AB, Swed.

PCT Int. Appl., 66 pp.

Page 245

Liu, Ziping; Page, Daniel; Tremblay, Maxime; Walpole,

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INVENTOR(S):

SOURCE:

PATENT ASSIGNEE(S):

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: 12 PATENT INFORMATION:

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OTHER SOURCE(S): MARPAT 144:350681

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Compds. of formula I. or pharmaceutically acceptable salts and compns.,

the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I wherein R1 is C1-6 alkyl or C3-6 cycloalkyl; R2 is H or Me; R3, R4, and R5 are independently F or Me; and their pharmaceutically acceptable salts, diastereoisomers, enantiomers, or mixts. thereof, and methods for their preparation are claimed in this invention. Example compound II was prepared by amidation of 4-fluoro-3-nitroaniline with acetic anhydride to give N-(4-fluoro-3-nitrophenyl) acetamide, which was reacted with 4-aminomethyltetrahydropyran to give N-{3-nitro-4-[(tetrahydro-2H-pyran-4-vlmethyl)amino]phenyl}acetamide, which was reduced; the resulting N-{3-amino-4-[(tetrahydro-2H-pyran-4vlmethyl)aminolphenyl}acetamide underwent cyclization with trimethylacetyl chloride to give N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1Hbenzimidazol-5-yl]acetamide, which was deacetylated to give the benzimidazol-5-amine derivative, which was sulfonylated with 4-nitrobenzenesulfonvl chloride to give N-[2-tert-butvl-1-(tetrahydro-2H-pyran-4-vlmethyl)-1H-benzimidazol-5-vl]-4nitrobenzenesulfonamide, which was reduced to N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-4aminobenzenesulfonamide, which reacted with trimethylacetyl chloride to give compound II. All the invention compound were evaluated for their human CB1 and CB2 receptor binding affinity. From the hCB1 and hCB2 receptor binding assay, the Ki towards human CB1 receptors for certain invention compds. are in the range of between 2.8 nM and 1846 nM. EC50 for these compds. was found to be in the range of between 1.8 nM and 682 nM. Emax

881417-71-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate and intermediate; preparation of benzimidazole derivs. and their cannabinoid receptor binding affinity and use in therapy, such as pain management)

for these compound were determined to be in the range of between 78% and 157%.

RN 881417-71-6 HCAPLUS

CN Acetamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4v1)methv1]-1H-benzimidazol-5-v1]methv1amino|sulfonv1]phenv1]-2-fluoro-(CA INDEX NAME)

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849349-15-1P 849349-16-2P 881417-54-5P 881417-55-6P 881417-56-7P 881417-57-8P 881417-58-9P 881417-59-0P 881417-60-3P 881417-61-4P 881417-62-5P 881417-63-6P 881417-64-7P 881417-65-8P 881417-66-9P 881417-67-0P 881417-68-1P 881417-69-2P 881417-70-5P 881417-73-8P 881417-74-9P 881417-75-0P 881417-76-1P 881417-77-2P 881417-78-3P 881417-79-4P 881417-80-7P 881417-81-8P 881417-82-9P 881417-83-0P

881417-84-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazole derivs, and their cannabinoid receptor binding affinity and use in therapy, such as pain management) 849349-15-1 HCAPLUS

RN Acetamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahvdro-2H-pvran-4-CN yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 849349-16-2 HCAPLUS CN

Acetamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4v1)methv1]-1H-benzimidazo1-5-v1]methvlamino]sulfonv1]phenv1]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 849349-15-1

CMF C26 H34 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-54-5 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazo1-5-yl]amino]sulfonyl]phenyl]-2,2-dimethyl- (CA INDEX NAME)

RN 881417-55-6 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 881417-56-7 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-

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 $\label{lem:condition} $$y1)$ methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-2-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)$

CM 1

CRN 881417-55-6

CMF C27 H36 N4 O4 S

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 881417-57-8 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-58-9 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-, 2, 2, 2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-57-8

CMF C26 H34 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-59-0 HCAPLUS

CN Acetamide, 2-chloro-N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-60-3 HCAPLUS

CN Cyclopropanecarboxamide, N-[4-[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2Hpyran-4-yl)methyl]-1H-benzimidazo1-5-yl]amino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-61-4 HCAPLUS

10572826

CN Cyclopropanecarboxamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-y])methyl]-IH-benzimidazol-5-yl]amino[sulfonyl]phenyl]-, 2, 2, 2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-60-3 CMF C27 H34 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-62-5 HCAPLUS

CN Cyclobutanecarboxamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2Hpyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-63-6 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]-2-methyl-(CA INDEX NAME)

RN 881417-64-7 HCAPLUS

CN Propanamide, N-[4-[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c} \bullet \\ \text{Et-C-NH} \\ \bullet \\ \text{S-N} \\ \bullet \\ \text{N-CH}_2 \\ \end{array}$$

RN 881417-65-8 HCAPLUS CN Propanamide, N-[4-[]

Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM

CRN 881417-64-7 CMF C27 H36 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-66-9 HCAPLUS

CN Butanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-y)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c} 0 \\ n-Pr-C-NH \\ \hline \\ 0 \\ \hline \\ 0 \\ \end{array}$$

RN 881417-67-0 HCAPLUS

CN Butanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-]H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]-3,3-dimethyl-(OA INDEX NAME)

RN 881417-68-1 HCAPLUS

CN Acetamide, 2-chloro-N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-69-2 HCAPLUS

CN pyran-4-vl)methvl]-1H-benzimidazol-5-vl]methvlamino[sulfonvl]phenvl]- (CA INDEX NAME)

- RN 881417-70-5 HCAPLUS
- CN Cyclobutanecarboxamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2Hpyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]- (CA INDEX NAME)

- 881417-73-8 HCAPLUS RN
- CN Propanamide, 3-amino-N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]-2,2dimethyl- (CA INDEX NAME)

- RN 881417-74-9 HCAPLUS
- CN Acetamide, 2-amino-N-[4-[[methyl[1-[(tetrahydro-2H-pyran-4-v1)methyl]-2-(trifluoromethyl)-1H-benzimidazol-5-vl]amino|sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-75-0 HCAPLUS

CN Acetamide, 2-amino-N-[4-[[methyl.[1-[(tetrahydro-ZH-pyran-4-yl)methyl]-2-(trifluoromethyl)-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-, 2, 2, 2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-74-9 CMF C23 H26 F3 N5 O4 S

CM :

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-76-1 HCAPLUS

CN Acetamide, 2-amino-N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]ethylamino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-77-2 HCAPLUS

CN Acetamide, 2-amino-N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]ethylamino]sulfonyl]phenyl]-, 2, 2, 2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-76-1 CMF C27 H37 N5 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-78-3 HCAPLUS

CN Propanamide, N-[4-[[]2-(1,1-difluoroethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazo1-5-yl]amino]sulfonyl]phenyl]-2,2-dimethyl- (CA INDEX NAME)

RN 881417-79-4 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-difluoroethy])-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino[sulfonyl]phenyl]-2,2-dimethyl-,2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-78-3 CMF C26 H32 F2 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-80-7 HCAPLUS

CN Butanamide, N-[4-[[[2-(1,1-difluoroethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-3-methyl- (CA INDEX NAME)

RN 881417-81-8 HCAPLUS

CN Butanamide, N-[4-[[[2-(1,1-difluoroethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonylphenyl]-3-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-80-7 CMF C26 H32 F2 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-82-9 HCAPLUS

CN Acetamide, N-[4-[[[2-(1,1-difluoroethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-83-0 HCAPLUS

CN Acetamide, N-[4-[[]2-(1,1-dif|uoroethyl])-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino[sulfonyl]phenyl]-, 2, 2, 2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-82-9 CMF C23 H26 F2 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-84-1 HCAPLUS

CN 1-Piperidineacetamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]- (CA INDEX NAME)

IT 849349-65-1P 849350-25-0P 849350-26-1P 849351-26-4P 849351-27-5P 849351-54-8P 881417-86-3P 881417-87-4P 881417-95-4P

881417-96-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzimidazole derivs. and their cannabinoid receptor binding affinity and use in therapy, such as pain management)

RN 849349-65-1 HCAPLUS

CN Benzenesulfonamide, 4-amino-N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-N-ethyl- (CA INDEX NAME)

RN 849350-25-0 HCAPLUS

CN Benzenesulfonamide, N-methyl-4-nitro-N-[1-[(tetrahydro-2H-pyran-4-y1)methyl]-2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 849350-26-1 HCAPLUS

CN Benzenesulfonamide, 4-amino-N-methyl-N-[1-[(tetrahydro-2H-pyran-4-yl)methyl]-2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 849351-26-4 HCAPLUS

CN Benzenesulfonamide, N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-N-methyl-4-nitro- (CA INDEX NAME)

Page 261

RN 849351-27-5 HCAPLUS

CN Benzenesulfonamide, 4-amino-N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

- RN 849351-54-8 HCAPLUS
- CN Benzenesulfonamide, N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-N-ethyl-4-nitro- (CA INDEX NAME)

- RN 881417-86-3 HCAPLUS
- CN Benzenesulfonamide, N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-vl)methyl]-1H-benzimidazol-5-vl]-4-nitro- (CA INDEX NAME)

- RN 881417-87-4 HCAPLUS
- CN Benzenesulfonamide, 4-amino-N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 881417-95-4 HCAPLUS
- CN Benzenesulfonamide, N-[2-(1,1-difluoroethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-4-nitro- (CA INDEX NAME)

RN 881417-96-5 HCAPLUS

CN Benzenesulfonamide, 4-amino-N-[2-(1,1-difluoroethyl)-1-[(tetrahydro-2Hpyran-4-yl)methyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

881417-72-7P

REFERENCE COUNT:

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of benzimidazole derivs, and their cannabinoid receptor binding affinity and use in therapy, such as pain management)

RN 881417-72-7 HCAPLUS

CN Propanamide, 2-cyano-N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-y1)methyl]-1H-benzimidazol-5-y1]methylamino]sulfonyl]phenyl]-2-methyl-(CA INDEX NAME)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

4 L21 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2006:295541 HCAPLUS

DOCUMENT NUMBER: 144:350678

TITLE: Preparation of benzimidazole derivatives for treatment

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblav, Maxime; Walpole,

Christopher; Yang, Hua PATENT ASSIGNEE (S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 44 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

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Page 263

FAMILY ACC. NUM. COUNT: 12 PATENT INFORMATION:

	PATENT NO.				KIND		DATE		APPLICATION NO.				DATE					
	WO 2006033628						0330		WO 2005-SE1400				20050922					
		W:			AL.		AT.	AU,							BY.			
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	WO 2005030761				A1		20050407			WO 2004-GB4112				20040924				
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											SE 2	003-	2572			A 2	0030	926
											WO 2	005-	SE14	00		W 2	0050	922
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Benzimidazoles I (G = O, Cf2; R1, R2 = H, OH, alkyl, alkoxy, hydroxyalkyl; AB R3,R4, R5 = F, Me) and their pharmaceutically acceptable salts are prepared They are useful in therapy, in particular in the management of pain. Thus, reaction of 4-{[[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1Hbenzimidazol-5-vl](methvl)amino|sulfonvl}benzoic acid with ethanolamine in DMF in the presence of diisopropylethylamine at room temperature for 3 h gave 62% 4-{[[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5yl] (methyl) amino]sulfonyl}-N-(2-hydroxyethyl) benzamide as trifluoroacetate salt.

Т

881016-94-0P 881016-97-3P 881017-00-1P 881017-03-4P 881017-06-7P 881017-09-0P 881017-12-5P 881017-15-8P 881017-18-1P 881017-21-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole derivs. for treatment of pain) 881016-94-0 HCAPLUS

RN

CM Benzamide, 4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]-N-(2-hydroxyethyl)-, 2.2.2-trifluoroacetate (1:?) (CA INDEX NAME)

CM

CRN 881016-93-9 CMF C27 H36 N4 O5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881016-97-3 HCAPLUS
Benzenesulfonamide, N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-4-(2-isoxazolidinylcarbonyl)-N-methyl-, 2, 2, 2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 881016-96-2 CMF C28 H36 N4 O5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881017-00-1 HCAPLUS

CN Benzenesulfonamide, 4-(1-azetidinylcarbonyl)-N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl)-1H-benzimidazol-5-yl]-N-methyl-, 2,2,2-trifluoroacetate (5:7) (CA INDEX NAME)

CM 1

CRN 881016-99-5 CMF C28 H36 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881017-03-4 HCAPLUS

CN Benzamide, N-cyclopropyl-4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]-, 2, 2, 2-trifluoroacetate (5:3) (CA INDEX NAME)

CM :

CRN 881017-02-3

CMF C28 H36 N4 O4 S

CM 2

CRN 76-05-1

CMF C2 H F3 O2

F-C-CO₂H

CN

RN 881017-06-7 HCAPLUS

Benzamide, N-(1,1-dimethylethyl)-4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]-, 2,7,2-trifluoroacetate (1:7) (CA INDEX NAME)

CM 1

CRN 881017-05-6 CMF C29 H40 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

F-C-CO₂H

RN 881017-09-0 HCAPLUS

CN Benzamide, 4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl)-1H-benzimidazol-5-yl]methylamino|sulfonyl]-N-(2-methoxyethyl)-, 2, 2, 2-trifluoroacetate (2:5) (CA INDEX NAME)

CM 1

CRN 881017-08-9 CMF C28 H38 N4 O5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881017-12-5 HCAPLUS CN Benzenesulfonamide, I

Benzenesulfonamide, N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-N-methyl-4-(4-morpholinylcarbonyl)-, 2,2,2-trifiluoroacetate (10:27) (CA INDEX NAME)

CM 1

CRN 881017-11-4 CMF C29 H38 N4 O5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

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RN 881017-15-8 HCAPLUS

CN Benzamide, 4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-M-methoxy-N-methyl-, 2, 2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 881017-14-7 CMF C27 H36 N4 O5 S

CM

CRN 76-05-1 CMF C2 H F3 O2

RN 881017-18-1 HCAPLUS

CN Benzamide, 4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-, 2, 2, 2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 881017-17-0

CMF C25 H32 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

F-C-CO₂H

RN 881017-21-6 HCAPLUS

CN Benzamide, 4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-N-hydroxy-N-methyl-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 881017-20-5 CMF C26 H34 N4 O5 S

HO O Me N-CH2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

F-C-CO2H

IT 881017-34-1P 881017-36-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazole derivs. for treatment of pain)

RN 881017-34-1 HCAPLUS

CN Benzoic acid, 4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]- (CA INDEX NAME)

- RN 881017-36-3 HCAPLUS
- CN Benzenesulfonamide, N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-4-formyl-N-methyl- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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